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ENTRY SESSION
0.22 0.22

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 12 OCT 2009 HIGHEST RN 1187916-70-6 DICTIONARY FILE UPDATES: 12 OCT 2009 HIGHEST RN 1187916-70-6

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

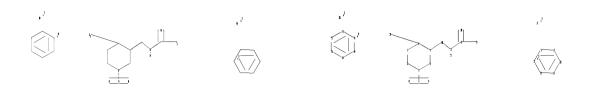
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\STNEXP\Queries\10593950news1.str



chain nodes :
7 8 9 10 11 12 13 14 15 25 26 28
ring nodes :
1 2 3 4 5 6 16 17 18 19 20 21 29 30 31 32 33 34
chain bonds :
1-7 4-28 5-10 7-8 7-9 10-11 11-12 11-13 13-14 13-25
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21 29-30
29-34 30-31 31-32 32-33 33-34
exact/norm bonds :
1-7 4-28 7-8 7-9 10-11 11-13 13-14 13-25
exact bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-10 11-12
normalized bonds :
16-17 16-21 17-18 18-19 19-20 20-21 29-30 29-34 30-31 31-32 32-33 33-34

```
G1:[*1],[*2]
G2:[*3],[*4]
Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom
19:Atom 20:Atom 21:Atom 25:CLASS 26:Atom 28:CLASS 29:Atom 30:Atom 31:Atom
32:Atom 33:Atom 34:Atom
Generic attributes :
26:
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic
Element Count :
Node 26: Limited
  N, N1-3
   C, C3-5
L1
      STRUCTURE UPLOADED
=> d 11
L1 HAS NO ANSWERS
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
Structure attributes must be viewed using STN Express query preparation.
=> s 11 sss sam
SAMPLE SEARCH INITIATED 12:20:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 338 TO ITERATE
100.0% PROCESSED
                    338 ITERATIONS
                                                             0 ANSWERS
SEARCH TIME: 00.00.01
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                      BATCH **COMPLETE**
PROJECTED ITERATIONS: 5657 TO 7863
                              0 TO
PROJECTED ANSWERS:
                                       0
            0 SEA SSS SAM L1
L2
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Uploading C:\Program Files\STNEXP\Queries\10593950news2.str

```
chain nodes :
7  8  9  10  11  12  13  14  15  25  26  27
ring nodes :
1  2  3  4  5  6  16  17  18  19  20  21  28  29  30  31  32  33
chain bonds :
1-7  4-27  5-10  7-8  7-9  10-11  11-12  11-13  13-14  13-25
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  16-17  16-21  17-18  18-19  19-20  20-21  28-29
28-33  29-30  30-31  31-32  32-33
exact/norm bonds :
1-7  4-27  7-8  7-9  10-11  11-13  13-14  13-25
exact bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-10  11-12
normalized bonds :
16-17  16-21  17-18  18-19  19-20  20-21  28-29  28-33  29-30  30-31  31-32  32-33
```

G1:[*1],[*2]

G2:[*3],[*4]

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 25:CLASS 26:Atom 27:CLASS 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom

L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS
L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT * Structure attributes must be viewed using STN Express query preparation.

=> s 13 sss sam
SAMPLE SEARCH INITIATED 12:22:28 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 338 TO ITERATE

100.0% PROCESSED 338 ITERATIONS 0 ANSWERS SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 5657 TO 7863
PROJECTED ANSWERS: 0 TO 0

L4 0 SEA SSS SAM L3

=> Uploading C:\Program Files\STNEXP\Queries\10593950news3.str



chain nodes :
7 8 9 10 11 12 13 14 15 25 26 27
ring nodes :
1 2 3 4 5 6 16 17 18 19 20 21 28 29 30 31 32 33
chain bonds :
1-7 4-27 5-10 7-8 7-9 10-11 11-12 11-13 13-14 13-25
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21 28-29
28-33 29-30 30-31 31-32 32-33
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 4-5 4-27 5-6 7-8 7-9 10-11 11-13 13-14 13-25
exact bonds :
5-10 11-12
normalized bonds :

G1:[*1],[*2]

G2:[*3],[*4]

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 25:CLASS 26:Atom 27:CLASS 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom

L5 STRUCTURE UPLOADED

=> s 15 sss sam

SAMPLE SEARCH INITIATED 12:23:24 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 338 TO ITERATE

100.0% PROCESSED 338 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 5657 TO 7863
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s 13 sss full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y FULL SEARCH INITIATED 12:23:33 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 7162 TO ITERATE

100.0% PROCESSED 7162 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

L7 1 SEA SSS FUL L3

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 188.28 188.50

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REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 17

L8 2 L7

=> d ibib abs hitstr 1-2

L8 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:793715 CAPLUS

DOCUMENT NUMBER: 147:189075

TITLE: 3,4,5-Substituted piperidines as β -secretase,

cathepsin D, plasmepsin II and ${\tt HIV}$ protease inhibitors

and their preparation and use in the treatment of

diseases

INVENTOR(S): Herold, Peter; Mah, Robert; Stutz, Stefan; Tschinke,

Vincenzo; Schumacher, Christoph; Stojanovic, Aleksandar; Jotterand, Nathalie; Behnke, Dirk

PATENT ASSIGNEE(S): Speedel Experimenta AG, Switz. SOURCE: U.S. Pat. Appl. Publ., 108 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GΙ

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070167433	A1	20070719	US 2007-655108	20070119
EP 1816122	A2	20070808	EP 2007-100713	20070118
EP 1816122	A3	20070919		
R: AT, BE, BG,	CH, CY	, CZ, DE, DK	, EE, ES, FI, FR,	GB, GR, HU, IE,
IS, IT, LI,	LT, LU	, LV, MC, NL	, PL, PT, RO, SE,	SI, SK, TR, AL,
BA, HR, MK,	YU			
PRIORITY APPLN. INFO.:			CH 2006-88	A 20060119
OTHER SOURCE(S):	MARPAT	147:189075		

$$R^4$$
 $X-(Z)_n-R^1$
 R^3
 $(W)_m-R^2$
 I

AΒ Use of compds. of the general formula I and pharmaceutically acceptable salt thereof, as β secretase, cathepsin D, plasmepsin II and/or HIV protease inhibitors. Compds. of formula I wherein R1 is (un)substituted heterocyclyl and (un)substituted aryl; R2 is Ph, naphthyl, acenaphthyl, pyridinyl, pyrimidinyl, etc.; R3 is H, OH, C1-8 alkoxy, and C1-8 alkenyloxy; R4 is (un)substituted C1-8 alkyl, (un)substituted C1-8 alkoxy-C1-8 alkyl, (mono/di)-C1-8 alkylamino-C1-8 alkyl, etc.; X is a bond, O, S, (un)substituted methylene, CHOH and derivs., etc.; W is O and S; Z is (un)substituted C1-8 alkylene, C2-8 alkenylene, O, N, S, etc.; n is 1 or n is 0 and 1 when X is OCO; m is 0 and 1; and their pharmaceutically acceptable salts, prodrugs, and stable non-radioactive isotopes thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their $\beta\mbox{-secretase},$ cathepsin D, plasmepsin II and HIV protease inhibitory activity.

ΙI

IT 912347-16-1P

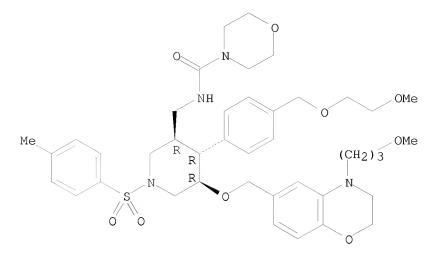
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of trisubstituted piperidines as β -secretase, cathepsin D, plasmepsin II and HIV-protease inhibitors useful in the treatment of diseases)

RN 912347-16-1 CAPLUS

CN 4-Morpholinecarboxamide, N-[[(3R,4R,5R)-5-[[3,4-dihydro-4-(3-methoxypropyl)-2H-1,4-benzoxazin-6-yl]methoxy]-4-[4-[(2-methoxyethoxy)methyl]phenyl]-1-[(4-methylphenyl)sulfonyl]-3-piperidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1030984 CAPLUS

DOCUMENT NUMBER: 145:419157

TITLE: Preparation of 3,4,5-substituted piperidines as renin

inhibitors

INVENTOR(S): Herold, Peter; Mah, Robert; Tschinke, Vincenzo;

Jotterand, Nathalie; Behnke, Dirk; Stojanovic, Aleksandar; Stutz, Stefan; Quirmbach, Michael;

Jelakovic, Stjepan

PATENT ASSIGNEE(S): Speedel Experimenta AG, Switz.

SOURCE: PCT Int. Appl., 128pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006103275	A1	20061005	WO 2006-EP61193	20060330
W: AE, AG,	AL, AM, AT	ſ, AU, AZ,	BA, BB, BG, BR, BW,	BY, BZ, CA, CH,
CN, CO,	CR, CU, CZ	Z, DE, DK,	DM, DZ, EC, EE, EG,	ES, FI, GB, GD,
GE, GH,	GM, HR, HU	J, ID, IL,	IN, IS, JP, KE, KG,	KM, KN, KP, KR,
KZ, LC,	LK, LR, LS	S, LT, LU,	LV, LY, MA, MD, MG,	MK, MN, MW, MX,
MZ, NA,	NG, NI, NO	O, NZ, OM,	PG, PH, PL, PT, RO,	RU, SC, SD, SE,
SG, SK,	SL, SM, SY	I, TJ, TM,	TN, TR, TT, TZ, UA,	UG, US, UZ, VC,
VN, YU,	ZA, ZM, ZW	V.		
RW: AT, BE,	BG, CH, CY	Z, CZ, DE,	DK, EE, ES, FI, FR,	GB, GR, HU, IE,
IS, IT,	LT, LU, LV	/, MC, NL,	PL, PT, RO, SE, SI,	SK, TR, BF, BJ,
CF, CG,	CI, CM, GA	A, GN, GQ,	GW, ML, MR, NE, SN,	TD, TG, BW, GH,
GM, KE,	LS, MW, MZ	Z, NA, SD,	SL, SZ, TZ, UG, ZM,	ZW, AM, AZ, BY,
KG, KZ,	MD, RU, TJ	J, TM		
CA 2601108	A1	20061005	CA 2006-2601108	20060330
EP 1863763	A1	20071212	EP 2006-743229	20060330
R: AT, BE,	BG, CH, CY	Z, CZ, DE,	DK, EE, ES, FI, FR,	GB, GR, HU, IE,
IS, IT,	LI, LT, LU	J, LV, MC,	NL, PL, PT, RO, SE,	SI, SK, TR
JP 2008535825	T	20080904	JP 2008-503524	20060330
CN 101151247	A	20080326	CN 2006-80010622	20070929
IN 2007DN08234	A	20071123	IN 2007-DN8234	20071025
PRIORITY APPLN. INFO.	. :		СН 2005-593	A 20050331
			CH 2006-86	A 20060119

GT

AΒ The application relates to novel substituted piperidines of the general formula I (wherein R1 = (un) substituted aryl or heterocyclyl; R2' = C2-8alkenyloxy-C1-8alkyl, C1-8alkoxy-C1-8alkyl, etc.; R2" = halogen; R4' = (un) substituted C1-8alkoxy, C1-8alkoxy-C1-8alkoxy, etc.; X = a bond, O or S; Z = C1-8alkylene, C2-8alkenylene, etc.; m = 0-2; and n = 0-1), to a process for the preparation thereof, and to the use of these compds. as medicines, especially as renin inhibitors. I can be used to prevent, delay the progression, or treat high blood pressure, heart failure, glaucoma, myocardial infarction, renal failure, restenoses or stroke in humans. For example, II was prepared in a 17 step synthesis starting from the reduction of Me 3-oxo-3,4-dihydro-2H-benzo[1,4]oxazine-6-carboxylate. In renin inhibition tests that measure reduction in angiotensin I formation in vitro, I showed inhibitory activity at minimal concns. of about 10-6 - 10-10 mol/l. ΙT 912347-16-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3,4,5-substituted piperidines as renin inhibitors)

RN 912347-16-1 CAPLUS

4-Morpholinecarboxamide, N-[[(3R,4R,5R)-5-[[3,4-dihydro-4-(3-4-dCN methoxypropyl)-2H-1,4-benzoxazin-6-yl]methoxy]-4-[4-[(2methoxyethoxy)methyl]phenyl]-1-[(4-methylphenyl)sulfonyl]-3piperidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD

(7 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	12.78	201.28
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY -1.64	SESSION -1.64

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STRUCTURE FILE UPDATES: 12 OCT 2009 HIGHEST RN 1187916-70-6 DICTIONARY FILE UPDATES: 12 OCT 2009 HIGHEST RN 1187916-70-6

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

Please note that search-term pricing does apply when conducting ${\tt SmartSELECT}$ searches.

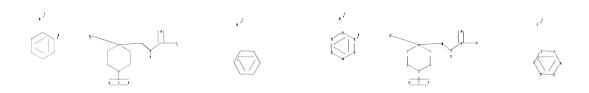
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information

on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\STNEXP\Queries\10593950news4.str



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chain nodes :
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ring nodes :
1  2  3  4  5  6  16  17  18  19  20  21  28  29  30  31  32  33
chain bonds :
1-7  4-27  4-10  7-8  7-9  10-11  11-12  11-13  13-14  13-25
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  16-17  16-21  17-18  18-19  19-20  20-21  28-29
28-33  29-30  30-31  31-32  32-33
exact/norm bonds :
1-7  4-27  7-8  7-9  10-11  11-13  13-14  13-25
exact bonds :
1-2  1-6  2-3  3-4  4-5  4-10  5-6  11-12
normalized bonds :
16-17  16-21  17-18  18-19  19-20  20-21  28-29  28-33  29-30  30-31  31-32  32-33
```

G1:[*1],[*2]

G2:[*3],[*4]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 25:CLASS 26:Atom 27:CLASS 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom

=> d 19

L9 HAS NO ANSWERS

T.9 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 19 sss sam

SAMPLE SEARCH INITIATED 12:25:47 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 695 TO ITERATE

100.0% PROCESSED 695 ITERATIONS 23 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 12319 TO 15481 PROJECTED ANSWERS: 173 TO 747

L10 23 SEA SSS SAM L9

=> s 19 sss full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y FULL SEARCH INITIATED 12:25:53 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 14410 TO ITERATE

100.0% PROCESSED 14410 ITERATIONS 425 ANSWERS

SEARCH TIME: 00.00.01

L11 425 SEA SSS FUL L9

=> file caplus

SINCE FILE COST IN U.S. DOLLARS TOTAL ENTRY SESSION FULL ESTIMATED COST 185.88 387.16 SINCE FILE TOTAL

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -1.64

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REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

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=> s 111 L12 16 L11

=> d ibib abs hitstr 1-16

L12 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:420053 CAPLUS

DOCUMENT NUMBER: 150:554933

TITLE: Optimisation of a series of potent, selective and

orally bioavailable GlyT1 inhibitors

AUTHOR(S): Thomson, Joanne L.; Blackaby, Wesley P.; Jennings,

Andrew S. R.; Goodacre, Simon C.; Pike, Andrew;

Thomas, Steve; Brown, Terry A.; Smith, Alison; Pillai,

Gopalan; Street, Leslie J.; Lewis, Richard T.

CORPORATE SOURCE: Department of Medicinal Chemistry, Neuroscience

Research Centre, Merck Sharp and Dohme, Harlow, Essex,

CM20 2QR, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2009),

19(8), 2235-2239

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 150:554933

AB A series of heterocyclic sulfonamides have been developed which are potent and selective inhibitors of hGlyT1. SAR studies to optimize the in vitro and in vivo properties are described. Optimization of the central scaffold resulted in cyclohexane sulfones 28 and 29, which have good PK properties and show promise for further development.

IT 866559-58-2P 866559-59-3P 895132-47-5P 895132-61-3P 895132-64-6P 895132-67-9P 1156439-27-8P 1156439-28-9P 1156439-29-0P 1156439-32-5P 1156439-34-7P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(heterocyclic sulfonamides preparation and SAR as oral GlyT1 inhibitors) RN 866559-58-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(cyclopropylmethyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-59-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 895132-47-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-1,2,3-triazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 895132-61-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 895132-64-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 895132-67-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(3-pyridinylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & N & S \\ \hline & C-NH-CH_2 & F & O \\ \hline & & & & \\ C1 & & & & \\ \end{array}$$

RN 1156439-27-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(1,2-dimethyl-1H-imidazol-4-yl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 1156439-28-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(2-thienylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & O & \\ \hline & C & NH-CH_2 & & N & \\ \hline & & & & \\ C1 & & & & \\ \end{array}$$

RN 1156439-29-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(5-chloro-2-thienyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 1156439-32-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(phenylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & O \\ S - Ph \\ C - NH - CH_2 & F \end{array}$$

RN 1156439-34-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridiny1)-1-[(phenylmethyl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

IT 895132-42-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(heterocyclic sulfonamides preparation and SAR as oral GlyT1 inhibitors)

RN 895132-42-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(1H-1,2,3-triazol-5-ylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:221549 CAPLUS

DOCUMENT NUMBER: 150:463324

TITLE: Discovery of GlyT1 inhibitors with improved

pharmacokinetic properties

AUTHOR(S): Wolkenberg, Scott E.; Zhao, Zhijian; Wisnoski, David

D.; Leister, William H.; O'Brien, Julie; Lemaire, Wei; Williams, David L., Jr.; Jacobson, Marlene A.; Sur, Cyrille; Kinney, Gene G.; Pettibone, Doug J.; Tiller,

Philip R.; Smith, Sheri; Gibson, Christopher; Ma,

Bennett K.; Polsky-Fisher, Stacey L.; Lindsley, Craig

W.; Hartman, George D.

CORPORATE SOURCE: Department of Medicinal Chemistry, Technology Enabled

Synthesis Group, Merck & Co., Inc., West Point, PA,

19486, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2009),

19(5), 1492-1495

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

AB Glycine transporter 1 (GlyT1) represents a novel target for the treatment of schizophrenia via the potentiation of glutamatergic NMDA receptors. The discovery of 4,4-disubstituted piperidine inhibitors of GlyT1 which

exhibit improved pharmacokinetic properties, including oral

bioavailability, is discussed.

IT 866558-70-5P 866558-88-5P 866558-90-9P 866558-91-0P 866558-92-1P 866558-97-6P 1146663-94-6P 1146663-97-9P 1146664-08-5P 1146664-10-9P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of GlyT1 inhibitors with improved pharmacokinetics for schizophrenia treatment)

RN 866558-70-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866558-88-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(methylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & \\ & S-Me \\ \hline \\ C1 & O \\ & N & O \\ \end{array}$$

RN 866558-90-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(1-methylethyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 866558-91-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ &$$

RN 866558-92-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(cyclopropylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-97-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(dimethylamino)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 1146663-94-6 CAPLUS

CN Benzamide, N-[[4-(1-azetidinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2,4-dichloro- (CA INDEX NAME)

RN 1146663-97-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(2-hydroxypropyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 1146663-99-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(2-fluoropropyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\$$

RN 1146664-03-0 CAPLUS

CN Benzamide, N-[[1-(butylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-2,4-dichloro- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & \\ & S \\ C-NH-CH_2 & N \\ O & \\ \end{array}$$

RN 1146664-08-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(2-pyridinyl)-1-[(trifluoromethyl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 1146664-10-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(2-pyridinyl)-1-[(2,2,2-trifluoroethyl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

IT 866558-75-0

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of GlyT1 inhibitors with improved pharmacokinetics for schizophrenia treatment)

RN 866558-75-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

IT 852029-50-6

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation of GlyT1 inhibitors with improved pharmacokinetics for schizophrenia treatment)

RN 852029-50-6 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN 2009:221548 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 150:463323 Discovery of N-{[1-(propylsulfonyl)-4-pyridin-2-TITLE: ylpiperidin-4-yl]methyl}benzamides as novel, selective and potent GlyT1 inhibitors AUTHOR(S): Zhao, Zhijian; Leister, William H.; O'Brien, Julie A.; Lemaire, Wei; Williams, David L.; Jacobson, Marlene A.; Sur, Cyrille; Kinney, Gene G.; Pettibone, Doug J.; Tiller, Philip R.; Smith, Sheri; Hartman, George D.; Lindsley, Craig W.; Wolkenberg, Scott E. CORPORATE SOURCE: Department of Medicinal Chemistry, Technology Enabled Synthesis Group, Merck & Co., Inc., West Point, PA, 19486, USA SOURCE: Bioorganic & Medicinal Chemistry Letters (2009), 19(5), 1488-1491 CODEN: BMCLE8; ISSN: 0960-894X PUBLISHER: Elsevier B.V. DOCUMENT TYPE: Journal English LANGUAGE: AB Employing an iterative analog library approach, novel potent and selective glycine transporter 1 (GlyT1) inhibitors containing a 4-pyridin-2-ylpiperidine sulfonamide have been discovered. These inhibitors are devoid of time-dependent CYP inhibition activity and exhibit improved aqueous solubility vs. the corresponding 4-phenylpiperidine analogs. ΙT 866558-67-0P 866558-72-7P 866558-73-8P 866558-75-0P 866558-77-2P 866559-14-0P 936481-41-3P 936481-42-4P 1146403-32-8P

1146403-36-2P 1146403-33-9P 1146403-35-1P 1146403-39-5P 1146403-37-3P 1146403-42-0P 1146403-43-1P 1146403-45-3P 1146403-68-0P 1146403-69-1P 1146403-71-5P 1146403-74-8P 1146403-82-8P 1146403-75-9P 1146403-84-0P 1146403-86-2P 1146403-87-3P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(propylsulfonyl-pyridinyl-piperidinyl methyl-benzamides preparation as novel selective GlyT1 inhibitors)

RN 866558-67-0 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F & O & \\ \hline & S - Pr - n \\ \hline & C - NH - CH_2 \\ \hline & C1 & N \end{array}$$

RN 866558-72-7 CAPLUS

CN Benzamide, 2-chloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ O & & \\ S - Pr - n \\ \hline C - NH - CH_2 & & \\ \hline C1 & & & \\ \end{array}$$

RN 866558-73-8 CAPLUS

CN Benzamide, 2,6-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S - Pr - n \\ & C - NH - CH_2 & \\ & &$$

RN 866558-75-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & \\ & S-Pr-n \\ \hline \\ C1 & \\ \end{array}$$

RN 866558-77-2 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-14-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936481-41-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & \\ S-Pr-n \\ \hline \\ C-NH-CH_2 & Me \\ \end{array}$$

RN 936481-42-4 CAPLUS

CN Benzamide, N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1146403-32-8 CAPLUS

CN Benzamide, N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 1146403-33-9 CAPLUS

CN Benzamide, 2-fluoro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ O & & \\ S - Pr - n \\ C - NH - CH_2 & & \\ \end{array}$$

RN 1146403-35-1 CAPLUS

CN Benzamide, 2-methyl-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ & & \\ O & & \\ S-Pr-n \\ \hline \\ N & \\ Me & & \\ \end{array}$$

RN 1146403-36-2 CAPLUS

CN Benzamide, 3-methyl-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ S & S - Pr - n \\ \hline C - NH - CH_2 & N \\ \hline \end{array}$$

RN 1146403-37-3 CAPLUS

CN Benzamide, N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-2- (trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 1146403-39-5 CAPLUS

CN Benzamide, N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1146403-42-0 CAPLUS

CN Benzamide, 4-chloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{O} & \text{O} \\ \text{S} & \text{S-Pr-n} \\ \text{C-NH-CH}_2 & \text{N} & \text{O} \\ \end{array}$$

RN 1146403-43-1 CAPLUS

CN Benzamide, 3-chloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

RN 1146403-45-3 CAPLUS

CN Benzamide, 3,4-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ \hline \\ C1 & & & \\ \hline \\ C-NH-CH_2 & & \\ \hline \\ N & & \\ \end{array}$$

RN 1146403-68-0 CAPLUS

CN Benzamide, N-[(1R)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1146403-69-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1R)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1146403-71-5 CAPLUS

CN Benzamide, 2-chloro-3,5-difluoro-N-[(1R)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1146403-74-8 CAPLUS

CN Benzamide, N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1146403-75-9 CAPLUS

CN Benzamide, 2-chloro-3,5-difluoro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1146403-82-8 CAPLUS

CN Benzamide, N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)

RN 1146403-84-0 CAPLUS

CN Benzamide, 2-methyl-N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)

RN 1146403-86-2 CAPLUS

CN Benzamide, 2-chloro-N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)

RN 1146403-87-3 CAPLUS

CN Benzamide, 2-chloro-3,5-difluoro-N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

866558-69-2 ΙT 266341-42-8 852029-50-6 866558-79-4 866558-87-4 866558-93-2 866558-95-4 1146403-53-3 866559-00-4 1146403-54-4 1146403-55-5 1146403-57-7 1146403-59-9 1146403-60-2 1146403-61-3 1146403-63-5

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (propylsulfonyl-pyridinyl-piperidinyl methyl-benzamides preparation as novel selective GlyT1 inhibitors)

RN 266341-42-8 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ \parallel & \\ S - Pr - n \\ \hline \\ OMe & \\ \end{array}$$

RN 852029-50-6 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866558-69-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-79-4 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-87-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(4-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 866558-93-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(3-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & \\ & S - Pr - n \\ \hline \\ C1 & O \\ \hline \\ C - NH - CH_2 & O \\ \end{array}$$

RN 866558-95-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(4-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & \\ & S \\ C-NH-CH_2 & O \\ \\ & O \end{array}$$

RN 866559-00-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 1146403-53-3 CAPLUS

CN Benzamide, N-[[4-(4-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 1146403-54-4 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(4-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 1146403-55-5 CAPLUS

CN Benzamide, N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 1146403-57-7 CAPLUS

CN Benzamide, N-[[4-(6-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ \text{CH}_2-\text{NH}-\text{C}-\text{Ph} \\ & & & \\ \text{N} & & & \\ & & & \\ \text{N} & & & \\ & & & \\ \text{OMe} & & \\ \end{array}$$

RN 1146403-59-9 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(6-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 1146403-60-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S & Pr-n \\ \hline & C-NH-CH_2 & N & O \\ \hline & O & \\ & O & \\ \end{array}$$

RN 1146403-61-3 CAPLUS

CN Benzamide, N-[[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 1146403-63-5 CAPLUS

CN Benzamide, 2-chloro-N-[[4-[6-(4-morpholiny1)-2-pyridiny1]-1-(propylsulfony1)-4-piperidiny1]methyl]- (CA INDEX NAME)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

(2 CITINGS)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1245020 CAPLUS

DOCUMENT NUMBER: 149:471466

TITLE: Thiazole-pyridinecarboxamide derivatives as tyrosine

kinase inhibitors and their preparation,

pharmaceutical compositions and use in the treatment

of cancers

INVENTOR(S): Marinier, Anne; Dodier, Marco; Roy, Stephan;

Zimmermann, Kurt; Sang, Xiaopeng; Wittman, Mark D.;

Langley, David R.; Rajamani, Ramkumar

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 147pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P	PATENT NO.					KIND DATE				APPLICATION NO.						DATE			
M.	WO 2008124757					A1 20081016			1	WO 2	008-	 US59	735						
	W:	ΑE,	AG,	AL,	AM,	AO,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,		
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,		
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,		
		KG,	KM,	KN,	KP,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,		
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MΖ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,		
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,		
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW					
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	HU,		
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,		
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,		
		ΤG,	BW,	GH,	GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,		
		AM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM									
PRIORI	RIORITY APPLN. INFO.:					US 2007-							41P]	P 2	0070	410		
OTHER	OTHER SOURCE(S):					MARPAT 149:471466													
GI																			

AΒ The invention provides compds. of formula I and their pharmaceutically acceptable salts thereof. The compds. of formula I inhibit tyrosine kinase activity thereby making them useful as anticancer agents and for the treatment of Alzheimer's disease. Compds. of formula I wherein Het is heteroaryl and heterocyclyl; A is cycloalkyl and heterocyclyl; R1-R3 are independently H, (un) substituted alkyl, (un) substituted aryl, (un) substituted cycloalkyl, OH, hydroxyalkyl, (un) substituted alkoxy, halo, haloalkyl, etc.; R1R2 or R2R3 can be taken together to form (un) substituted carbocyclic or heterocyclic ring; R4 is H, (un) substituted alkyl, OH, CN and halo; R6 and R7 are independently H, (un)substituted alkyl, (un)substituted aryl, (un)substituted alkylidene, OH, hydroxyalkyl, (un) substituted alkoxy, halo, haloalkyl, haloalkoxy, etc.; and their pharmaceutically acceptable salts and stereoisomers thereof, are claimed. Example compound II was prepared by amidation of 3-fluoro-4-[2-(pyridin-2-ylamino)thiazol-5-ylthio]picolinic acid with 2-[4-(aminomethyl)-4-phenylpiperidin-1-yl]ethanol. All the invention compds. were evaluated for their tyrosine kinase inhibitory activity.

From the assay, it was determined that II exhibited an IC50 value of $0.003\mu\mathrm{M}$ against IGF-1R.

IT 1071485-75-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate and intermediate; preparation of

thiazole-pyridinecarboxamide derivs. as tyrosine kinase inhibitors useful in the treatment of cancers)

RN 1071485-75-0 CAPLUS

CN 2-Pyridinecarboxamide, 3-fluoro-4-[[2-[(4-methyl-2-pyridinyl)amino]-5-thiazolyl]thio]-N-[[1-(methylsulfonyl)-4-phenyl-4-piperidinyl]methyl]-(CA INDEX NAME)

IT 1071484-06-4P

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of thiazole-pyridinecarboxamide derivs. as tyrosine kinase inhibitors useful in the treatment of cancers)

RN 1071484-06-4 CAPLUS

2-Pyridinecarboxamide, 3-fluoro-4-[[2-[(4-methyl-2-pyridinyl)amino]-5-thiazolyl]thio]-N-[[1-(methylsulfonyl)-4-phenyl-4-piperidinyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

IT 1071485-76-1P

RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prophetic intermediate; preparation of thiazole-pyridinecarboxamide derivs. as tyrosine kinase inhibitors useful in the treatment of cancers)

RN 1071485-76-1 CAPLUS

CN 2-Pyridinecarboxamide, 3-fluoro-4-[[2-[(4-methyl-2-pyridinyl)amino]-5-thiazolyl]thio]-N-[[1-(methylsulfonyl)-4-phenyl-4-piperidinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1071485-75-0 CMF C28 H29 F N6 O3 S3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:410465 CAPLUS

DOCUMENT NUMBER: 148:403229

TITLE: Preparation of thiadiazolone derivatives as $TNF-\alpha$ converting enzyme (TACE) inhibitors

INVENTOR(S): Kikuchi, Shinichi; Matsui, Takuya; Inoue, Teruhiko;

Terashita, Masakazu; Miura, Tomoya; Mimura, Takayuki;

Fukui, Kenji; Takahashi, Akihiko

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan SOURCE: PCT Int. Appl., 620pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.						D	DATE		APPLICATION NO.						DATE				
	WO	WO 2008038841					A1		20080403		WO 2007-JP69519					2	20070928			
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	ΒZ,	CA,		
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			GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,		
			KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ΜE,		
			MG,	MK,	MN,	MW,	MX,	MY,	MΖ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,		
			PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,		
			TR,	TT,	ΤZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
		RW:	AT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,		
			IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	ΝL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,		
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,		
			GH,	GM,	ΚE,	LS,	MW,	MΖ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ ,		
			BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM											
PRIO	PRIORITY APPLN. INFO.:										JP 2	006-	2701	44		A 2	0060	930		
										US 2006-850626P					1	P 2	20061010			
OTHE	OTHER SOURCE(S):					MARPAT 148:403229														

GI

The title compds. [I; Raa1, Raa2 = H, C1-4 alkyl; na = 0-2; Lab1 = AΒ C(Rab5) (Rab6), Q, Q1, Q2, etc.; Rab5, Rab6 = H, C1-4 alky1; Rab1-4 = H, halo, NO2, each (un) substituted OH, SH, NH2, CO2H, C1-4 alkyl, C3-12 carbocyclyl, or heterocyclyl, etc.; nb = 0-2; ring J1, J2 = each (un) substituted saturated monocyclic heterocyclic or nonarom. C3-8 carbocyclic ring; nc = 0,1; ring Lc = each (un)substituted C3-12 carbocyclic ring or saturated monocyclic heterocyclic ring; Lb = CON(Rba1)-Lba1, Lba6-N(Rba2)-CO-Lba2, S(O)N(Rba3), N(Rba4)S(O), COLba3, SO2Lba4, N(Rba5)Lba5; Rba1-5 = H, (un)substituted C1-4 alkyl, C1-7 alkanoyl, C6-12 aryl-C1-7 alkanoyl, C7-11 aroyl, etc.; Lba1-6 = a bond, (un)substituted C1-3 alkylene; Ld = (CHLd1)nd1-Xda-(CHLd2)nd2-Xdb; Xda, Xdb = a bond, O, (un) substituted NH, CO, CH(OH), S, S(O), SO2; nd1, nd2 = 0-2; Ld1, Ld2 = H, C1-4 alkyl; Ue = each (un)substituted C3-12 carbocyclyl, unsatd. fused heterocyclyl, C2-6 alkynyl; Rf = H, C1-4 alkyl] or pharmaceutically acceptable salts thereof or hydrates thereof are prepared These compds. are excellent in inhibiting activity against TNF- α converting enzyme (TACE), also called as α disintegrin and metalloproteinase 17 (ADAM17) which cleaves pro-TNF- α to release TNF- α , and are selective inhibitors of TACE (ADAM17) over ADAM10 and ADAM14. Therefore, they are inhibitors of the production of TNF- α and can be used as pharmaceutical agents effective for the prevention or treatment of diseases associated with TNF- α such as inflammatory disease, autoimmune disease, allergic disease, atopic disease, transplant rejection, graft-vs.-host disease, cardiovascular disease, reperfusion, infection, osteoporosis, diabetes, hyperlipidemia, Alzheimer's disease, neuropathy, organ fibrosis, rheumatoid arthritis, malignant tumor, and inflammatory bowel disease (IBD). Thus, 0.062 g 5-(2-aminoethy1)-3H-[1,3,4]thiadiazol-2-one hydrobromide, 0.040 g 4-(2-Methylquinolin-4-ylmethoxy) benzoic, and 1.0 mL DMF were mixed, sequentially treated with 0.030 mL N-methylmorpholine, 0.042 g1-hydroxybenzotriazole monohydrate, and 0.052 g1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, and stirred at room temperature for 7 h to give 49% 4-(2-methylquinolin-4-ylmethoxy)-N-[2-(5-

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

oxo-4,5-dihydro-[1,3,4]thiadiazol-2-yl)ethyl]benzamide (II). II and 4-(2-methylquinolin-4-ylmethoxy)-N-[(1R,2S)-2-(5-oxo-4,5-dihydro-[1,3,4]thiadiazol-2-yl)cyclohexyl]benzamide (III) in vitro showed IC50 of $\geq 0.01-<10$ and $<0.01~\mu\text{M}$, resp., against recombinant human TACE (ADAM17). III in vitro inhibited the LPS-stimulated production of TNF- α in THP-1 cells with IC50 of $<1~\mu\text{M}$. 1016244-55-5P, N-[[1-Methylsulfonyl-4-(5-oxo-4,5-dihydro-

[1,3,4]thiadiazol-2-yl)piperidin-4-yl]methyl]-4-[(2-methylquinolin-4-yl)methoxy]benzamide 1016245-34-3P,

N-[[1-Phenylsulfonyl-4-(5-oxo-4,5-dihydro-[1,3,4]thiadiazol-2-yl)piperidin-4-yl]methyl]-4-[(2-methylquinolin-4-yl)methoxy]benzamide

1016247-70-3P, 4-[(2-Methylquinolin-4-yl)methoxy]-N-[[4-(5-oxo-4,5-dihydro-[1,3,4]thiadiazol-2-yl)-1-sulfamoylpiperidin-4-yl]methyl]benzamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiadiazolone derivs. as TNF- α converting enzyme (TACE) inhibitors)

RN 1016244-55-5 CAPLUS

IT

CN Benzamide, N-[[4-(4,5-dihydro-5-oxo-1,3,4-thiadiazol-2-yl)-1- (methylsulfonyl)-4-piperidinyl]methyl]-4-[(2-methyl-4-quinolinyl)methoxy]- (CA INDEX NAME)

PAGE 1-A

RN 1016245-34-3 CAPLUS

CN Benzamide, N-[[4-(4,5-dihydro-5-oxo-1,3,4-thiadiazol-2-yl)-1- (phenylsulfonyl)-4-piperidinyl]methyl]-4-[(2-methyl-4-quinolinyl)methoxy]- (CA INDEX NAME)

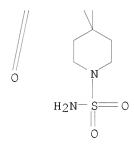
PAGE 1-A

PAGE 2-A

y1)-4-piperidiny1]methy1]-4-[(2-methy1-4-quinoliny1)methoxy]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:366161 CAPLUS

DOCUMENT NUMBER: 150:92867

TITLE: A novel radioligand for glycine transporter 1:

characterization and use in autoradiographic and in

vivo brain occupancy studies

AUTHOR(S): Zeng, Zhizhen; O'Brien, Julie A.; Lemaire, Wei;

O'Malley, Stacey S.; Miller, Patricia J.; Zhao,

Zhijian; Wallace, Michael A.; Raab, Conrad; Lindsley,

Craig W.; Sur, Cyrille; Williams, David L.

CORPORATE SOURCE: Imaging, Merck Research Laboratories, West Point, PA,

19486, USA

SOURCE: Nuclear Medicine and Biology (2008), 35(3), 315-325

CODEN: NMBIEO; ISSN: 0969-8051

PUBLISHER: Elsevier Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

In an effort to develop agents to test the NMDA hypofunction hypothesis of schizophrenia, benchmark compds. from a program to discover potent, selective, competitive glycine transporter 1 (GlyT1) inhibitors were radiolabeled in order to further study the detailed pharmacol. of these inhibitors and the distribution of GlyT1 in brain. We here report the in vitro characterization of [35S](S)-2-amino-4-chloro-N-(1-(4-phenyl-1-(propylsulfonyl)piperidin-4-yl)ethyl)benzamide ([35S]ACPPB), a radiotracer developed from a potent and selective non-sarcosine-derived GlyT1 inhibitor. Its use in autoradiog. to localize (S)-2-amino-6-chloro-N-(1-(4-phenyl-1-(propylsulfonyl)piperidin-4yl)ethyl)benzamide (ACPPB) binding sites in rat and rhesus brain and for in vivo occupancy assays of competitive GlyT1 inhibitors was studied. Functional potencies of unlabeled compds. were characterized by [14C]glycine uptake into JAR (human placental choriocarcinoma) cells and synaptosomes. Radioligand binding studies were performed with tissue homogenates. Autoradiog. studies were performed on tissue slices. Results showed that ACPPB is a potent (K d=1.9 nM), selective, GlyT1 inhibitor that, when radiolabeled with [35S], is a well-behaved radioligand with low non-displaceable binding. Autoradiog. studies of rat and rhesus brain slices with this ligand showed that specific binding sites were plentiful and non-homogeneously distributed, with high levels of binding in the brainstem, cerebellar white matter, thalamus, cortical white matter and spinal cord gray matter. In vivo studies demonstrate displaceable binding of [35S]ACPPB in rat brain tissues following iv administration of this radioligand. This is the first report of detailed anatomical localization of GlyT1 using direct radioligand binding, and the first demonstration that an in vivo occupancy assay is feasible, suggesting that it may also be feasible to develop positron emission tomog. tracers for GlyT1.

IT 1095416-16-2

RL: ARU (Analytical role, unclassified); BUU (Biological use, unclassified); DGN (Diagnostic use); PKT (Pharmacokinetics); ANST (Analytical study); BIOL (Biological study); USES (Uses) (novel radioligand for glycine transporter 1 and distribution of GlyT1 in brain)

RN 1095416-16-2 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl-35S)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

(2 CITINGS)

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:509742 CAPLUS

DOCUMENT NUMBER: 146:500900

TITLE: Preparation of piperidine glycine transporter

inhibitors

INVENTOR(S): Hallett, David; Lindsley, Craig W.; Naylor, Elizabeth

M.; Zhao, Zhijian; Theberge, Cory R.; Wolkenberg,

Scott E.; Nolt, Brad M.

Merck & Co., Inc., USA; Merck Sharp & Dohme Limited PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 85pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.					KIND DATE				APPLICATION NO.						DATE			
	2007053400 2007053400						,	WO 2	006-	US41		2	0061	027					
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
							•			•									
		KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA.	MD,	MG.	MK,		
			•	•	•		•	•	•	•		•		•	•	•	•		
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW	•	•	·	·	·	·		
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,		
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,		
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
		KG,	KZ,	MD,	RU,	TJ,	TM,	AP,	EA,	EP,	OA								
AU	2006	3090	50		A1 20070510					AU 2	006-	3090.	50		2	20051028			
CA	CA 2627177						2007	0510	1	CA 2	006-	2627		2	0061	027			
EP	1942	893			A2		2008	0716		EP 2	006-	8266	85		2	0061	027		
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		IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR			
JP	JP 2009513653						2009	0402		JP 2	008-	5379	24		2	0061	027		
PRIORIT	ORITY APPLN. INFO.:						US 2005-731010P]	P 20051028					
									WO 2006-US41699					Ţ	W 2	0061	027		
ם מתווער	HED COHDOR(C).						NT 14C. E0000												

OTHER SOURCE(S): GΙ

MARPAT 146:500900

AΒ The title compds. I [R1 = (CH2)nR1a (wherein n = 0-6; R1a = (un)substituted alkyl, cycloalkyl, piperidinyl, etc.); R2 = (un) substituted Ph, heterocyclyl, cycloalkyl, etc.; R3 = (un) substituted alkyl, cycloalkyl, alkylcycloalkyl, etc.; R4, R5 = H, alkyl; or R4 and R5 taken together form a cycloalkyl ring; A = O, NR10 (R10 = H, alkyl, cycloalkyl, etc.); m = 0 or 1] that inhibit the glycine transporter GlyT1 and which are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved, were prepared E.g., a multi-step synthesis of II, starting from tert-Bu 4-cyanopiperidine-1-carboxylate and cyclopropylmethyl bromide, was given. The exemplified compds. I had activity in inhibiting specific uptake of [14C]glycine, generally with an IC50 value of less than about 10 $\mu \rm M$. Pharmaceutical composition comprising the compound I is disclosed.

IT 936481-32-2P 936481-37-7P 936481-38-8P 936481-39-9P 936481-40-2P 936481-41-3P 936481-42-4P 936481-43-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidine glycine transporter inhibitors) 936481-32-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1'-(propylsulfonyl)][1,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)

RN 936481-37-7 CAPLUS

RN

CN Benzamide, 2,4-dichloro-N-[[4-(4-morpholinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 936481-38-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(ethylsulfonyl)-4-(4-morpholinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 936481-39-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(4-methyl-1-piperazinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \\ & \\ N \\ & \\ O \\ & \\ N \\ & \\ CH_2-NH-C \\ & \\ & \\ C1 \\ & \\ & \\ C1 \\ \end{array}$$

RN 936481-40-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1'-(ethylsulfonyl)[1,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)

RN 936481-41-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)

RN 936481-42-4 CAPLUS

CN Benzamide, N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & O \\ \parallel & & & \\ C-NH-CH_2 & & & \\ O-CF_3 & & & \\ \end{array}$$

RN 936481-43-5 CAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

L12 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:410347 CAPLUS

DOCUMENT NUMBER: 146:421847

TITLE: Preparation of radiolabeled benzoic acid

piperidinylalkylamide GlyT1 glycine transporter

inhibitors for diagnostic imaging

INVENTOR(S): Burns, H. Donald; Hamill, Terence G.; Lindsley, Craig

W.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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KIND
                               DATE
                                          APPLICATION NO.
                                                                 DATE
    PATENT NO.
    _____
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                                                                 _____
                                          WO 2006-US36989
                                                                 20060925
    WO 2007041025
                        A2
                               20070412
    WO 2007041025
                        A3
                               20070830
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            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
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        RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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            KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
    EP 1942733
                            20080716 EP 2006-815187
                                                                 20060925
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PRIORITY APPLN. INFO.:
                                          US 2005-721782P
                                                           P 20050929
                                                              W 20060925
                                           WO 2006-US36989
OTHER SOURCE(S):
                       CASREACT 146:421847; MARPAT 146:421847
GΙ
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AB Title compds. (I; A = N, CH; R2a, R2b = H, F, C1, Br; R3 = alkyl, fluoroalkyl; R4 = H, alkyl; 1 of X, Y = 18F, O11CH3, OCD218F, the other = H), were prepared Thus, title compound (II) was prepared by treatment of the corresponding phenol derivative with a product prepared from [18F]F- and CD2Br2 in the presence of Cs2CO3 in DMF at 100°.
IT 934200-18-7P 934200-19-8P 934200-20-1P 934200-21-2P
RL: DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1 glycine transporter inhibitors for diagnostic imaging)

RN 934200-18-7 CAPLUS

CN Benzamide, 2-fluoro-6-(fluoro-18F-methoxy-d2)-N-[(1S)-1-[4-phenyl-1-1]

(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 934200-19-8 CAPLUS

CN Benzamide, 2-chloro-6-(fluoro-18F)-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 934200-20-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[3-(methoxy-11C)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 934200-21-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[6-(fluoro-18F)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

IT 934200-22-3 934200-23-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1 glycine transporter inhibitors for diagnostic imaging)

RN 934200-22-3 CAPLUS

CN Benzamide, 2-fluoro-6-hydroxy-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 934200-23-4 CAPLUS

CN Benzamide, 2,6-dichloro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

IT 866559-78-6P 866559-80-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1 glycine transporter inhibitors for diagnostic imaging)

RN 866559-78-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-chloro-2-pyridiny1)-1-(propylsulfony1)-4-

piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & \\ & S-Pr-n \\ \hline \\ C1 & \\ \end{array}$$

RN 866559-80-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-hydroxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

L12 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:344575 CAPLUS

DOCUMENT NUMBER: 146:492593

TITLE: Design, synthesis, and in vivo efficacy of glycine

transporter-1 (GlyT1) inhibitors derived from a series of [4-phenyl-1-(propylsulfonyl)piperidin-4-yl]methyl

benzamides

AUTHOR(S): Lindsley, Craig W.; Zhao, Zhijian; Leister, William

H.; O'Brien, Julie; Lemaire, Wei; Williams, David L., Jr.; Chen, Tsing-Bau; Chang, Raymond S. L.; Burno, Maryann; Jacobson, Marlene A.; Sur, Cyrille; Kinney, Gene G.; Pettibone, Douglas J.; Tiller, Philip R.; Smith, Sheri; Tsou, Nancy N.; Duggan, Mark E.; Conn,

P. Jeffrey; Hartman, George D.

CORPORATE SOURCE: Department of Medicinal Chemistry, Technology Enabled

Synthesis Group, Merck Research Laboratories, West

Point, PA, 19486, USA

SOURCE: ChemMedChem (2006), 1(8), 807-811

CODEN: CHEMGX; ISSN: 1860-7179

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:492593

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AB An iterative analog library synthesis approach was employed to develop SAR for the title compds. Analog I was thus identified as a novel, centrally active GlyTl inhibitor. I enhanced prepulse inhibition in a rodent behavioral model sensitive to antipsychotic treatment.

ΙT 852029-09-5P 852029-12-0P 852029-23-3P 852029-28-8P 852029-36-8P 852029-37-9P 852029-44-8P 852029-47-1P 852029-48-2P 852029-50-6P 936101-97-2P 936101-98-3P 936101-99-4P 936102-00-0P 936102-01-1P 936102-02-2P 936102-03-3P 936102-04-4P 936102-05-5P 936102-06-6P 936102-07-7P 936102-08-8P 936102-09-9P 936102-10-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(piperidinylmethylbenzamide-derived glycine transporter-1 inhibitors) 852029-09-5 CAPLUS

CN Benzamide, 2-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-12-0 CAPLUS

RN

CN Benzamide, 2-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-(CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ & & \\ & S - Pr - n \\ & & \\ C - NH - CH_2 - & \\ & & \\ & & \\ Ph \end{array}$$

RN 852029-23-3 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 852029-28-8 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{O} & \text{O} \\ \text{||} \\ \text{Ph-C-NH-CH}_2 & \text{N} & \text{||} \\ \text{Ph} & \text{O} \end{array}$$

RN 852029-36-8 CAPLUS

CN Benzamide, 2-amino-6-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & \\ NH2 & & & & & & \\ & & S-Pr-n \\ & & & & \\ C-NH-CH_2 & & & & \\ & & & Ph & \\ \end{array}$$

RN 852029-37-9 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} NH2 & O & \\ & S \\ \hline C \\ C1 & Ph \end{array}$$

RN 852029-44-8 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-47-1 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-48-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 852029-50-6 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936101-97-2 CAPLUS

CN Benzamide, 2,4-difluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F & O & \\ & & \\ \hline & O \\ & S - Pr - n \\ \hline & C - NH - CH_2 - Ph \\ \end{array}$$

RN 936101-98-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{O} & \text{O} \\ \text{S} & \text{S-Pr-n} \\ \text{C} & \text{NH-CH}_2 & \text{Ph} \\ \end{array}$$

RN 936101-99-4 CAPLUS

CN Benzamide, 2-chloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-00-0 CAPLUS

CN Benzamide, 2-fluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-01-1 CAPLUS

CN Benzamide, 2,4-difluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-02-2 CAPLUS

CN Benzamide, 2-amino-6-fluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 936102-03-3 CAPLUS

CN Benzamide, N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-04-4 CAPLUS

CN Benzamide, N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-2- (trifluoromethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-05-5 CAPLUS

CN Benzamide, 2-chloro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 936102-06-6 CAPLUS

CN Benzamide, 2-fluoro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-07-7 CAPLUS

CN Benzamide, 2,4-difluoro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-08-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 936102-09-9 CAPLUS

CN Benzamide, 2-amino-6-fluoro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-10-2 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

IT 266341-42-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(piperidinylmethylbenzamide-derived glycine transporter-1 inhibitors)

RN 266341-42-8 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ \parallel & S-Pr-n \\ \hline & C-NH-CH_2 & & O \\ \hline & OMe & & Ph \end{array}$$

OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS

RECORD (13 CITINGS)

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1093266 CAPLUS

DOCUMENT NUMBER: 145:432223

TITLE: Method of treating schizophrenia prodrome

INVENTOR(S): Woods, Scott W.

PATENT ASSIGNEE(S): Yale University, USA SOURCE: PCT Int. Appl., 64pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	PATENT NO.					D	DATE		APPLICATION NO.			APPLICATION NO.					DATE			
	2006						2006 2007		,	WO 2	006-	US13	444		2	0060	411			
•	W:	-			_		AU,		BA.	BB.	BG.	BR.	BW.	BY.	BZ.	CA.	CH.			
							DE,													
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							NΑ,													
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AU	2006	•						1019	AU 2006-235400						2	0060	411			
CA	2602	626			A1		2006	1019	1	CA 2	006-	2602	626		2	0060	411			
EP	1871	165			A2				EP 2006-740849						20060411					
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,			
		IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,			
		BA,	HR,	MK,	YU															
JP	2008	5358	64		T		2008	0904	1	JP 2	008-	5056	37		2	0060	411			
US 20090215842					A1				US 2007-918287						2	20071011				
IORIT:	ORITY APPLN. INFO.:									US 2005-670600P					P 2	20050411				
									WO 2006-US13444											

OTHER SOURCE(S): MARPAT 145:432223

AB The present invention relates to a method of treating schizophrenia prodrome in human subjects using a NMDA glycine site agonist, a glycine transporter-1 inhibitor or mixts. thereof, optionally in combination with a pharmaceutically acceptable additive, carrier or excipient.

IT 852029-09-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method of treating schizophrenia prodrome with NMDA glycine agonist and glycine transporter-1 inhibitor)

RN 852029-09-5 CAPLUS

CN Benzamide, 2-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & O \\ \parallel & S-Pr-n \\ \hline \\ C-NH-CH_2 & O \\ \hline \\ Ph & O \\ \end{array}$$

(2 CITINGS)

REFERENCE COUNT: THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS 1 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

2006:631088 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 145:103566

Preparation of piperidine and azetidine derivatives as TITLE:

GlyT1 inhibitors

Blackaby, Wesley Peter; Fletcher, Stephen Robert; INVENTOR(S):

Jennings, Andrew; Lewis, Richard Thomas; Naylor,

Elizabeth Mary; Street, Leslie Joseph; Thomson, Joanne

PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK

SOURCE: PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.						KIND DATE				APPLICATION NO.						DATE			
WO	2006	0675.	 29		A1	_	2006	0629		==== WO 2	005-	GB50:	 258		2	0051	221		
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,		
		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,		
		MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,		
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,		
		VN,	YU,	ZA,	ZM,	ZW													
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,		
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,		
		GM,	ΚE,	LS,	MW,	MΖ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
		KG,	ΚZ,	MD,	RU,	ТJ,	TM												
AU	2005	3178	46		A1		2006	0629		AU 2	005-	3178	46		2	0051	221		
CA	2592	345			A1		2006	0629	1	CA 2	005-	2592	345		2	0051	221		
EΡ	1831	201			A1		2007	0912		EP 2	005-	8216	36		2	0051	221		
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,		
		IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR			
CN	1010	8421	5		A		2007	1205		CN 2	005-	8004	4155		2	0051	221		
	2008																		
	2008															0070	530		
IN	2007	DN04	679		A		2007	0817		IN 2	007 -	DN46	79		2	0070	619		
IORIT	Y APP	LN.	INFO	.:					1	GB 2	004-	2798	7		A 2	0041	221		
									1	GB 2	004-	2798	9	1	A 2	0041	221		
									,	WO 2	005-	GB50	258	Ĭ	W 2	0051	221		
HER SO		CASREACT 145:103566; MARPAT 145:103566																	

OTHER SOURCE(S): CASREACT 145:103566; MARPAT 145:103566

GΙ

AB Title compds. represented by the formula I [wherein A = O or NR10; B = (CH2)n; n = 1 or 2; R1 = (CH2)p-R1a; p = 0-6; R1a = (halo)alkyl, (un)substituted Ph, piperidinyl, etc.; R2 = (un)substituted Ph, heterocyclyl, alkyl, etc.; R3 = (un)substituted heterocyclyl; R4, R5 = H, (halo)alkyl, hydroxyalkyl or R4R5 = cyclyl; R10 = H, (cyclo)alkyl, benzyl, etc.; m = 0 or 1; and pharmaceutically acceptable salts or enantiomers and diastereomers thereof] were prepared as GlyT1 (glycine transporters) inhibitors (no data). For example, II was provided in a multi-step synthesis starting from tert-Bu 4-cyanopiperidine-1-carboxylate. I and their pharmaceutical compns. are useful as GlyT1 inhibitors for the treatment of schizophrenia (no data).

IT 895132-42-0P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of piperidine and azetidine derivs. as GlyT1 inhibitors for treatment of schizophrenia)

RN 895132-42-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(1H-1,2,3-triazol-5-ylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} H & O & F \\ \hline N & CH_2 - NH - C \\ \hline N & Cl \\ \end{array}$$

IT 895132-47-5P, 2,4-Dichloro-N-[1-[4-(3-fluoropyridin-2-yl)-1-[(1-methyl-1H-1,2,3-triazol-4-yl)sulfonyl]piperidin-4-yl]methyl]benzamide 895132-61-3P 895132-62-4P 895132-63-5P 895132-64-6P 895132-65-7P 895132-66-8P 895132-67-9P 895132-69-1P 895132-70-4P 895132-71-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidine and azetidine derivs. as GlyT1 inhibitors for treatment of schizophrenia)

895132-47-5 CAPLUS

RN

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-1,2,3-triazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

- RN 895132-61-3 CAPLUS
- CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

- RN 895132-62-4 CAPLUS
- CN Benzamide, 2,4-dichloro-5-fluoro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

- RN 895132-63-5 CAPLUS
- CN Benzamide, 2-chloro-3,6-difluoro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 895132-64-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 895132-65-7 CAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 895132-66-8 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

895132-67-9 CAPLUS RN

Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(3-pyridinylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME) CN

$$\begin{array}{c|c} C1 & O & N & S \\ \hline C-NH-CH_2 & F & O \\ \end{array}$$

RN

 $895132-69-1 \quad \text{CAPLUS} \\ \text{Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridiny1)-1-[(4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,2,4-methyl-4H-1,4-met$ CN triazol-3-y1)sulfony1]-4-piperidiny1]methy1]- (CA INDEX NAME)

RN 895132-70-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-1,2,3-triazol-5-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 895132-71-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridiny1)-1-[(1-methyl-1H-1,2,4-triazol-3-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1103490 CAPLUS

DOCUMENT NUMBER: 143:386922

TITLE: Preparation of heteroaryl-substituted piperidine

glycine transporter inhibitors for the treatment of

psychiatric disorders

INVENTOR(S): Blackaby, Wesley; Duggan, Mark E.; Hallett, David;

Hartman, George D.; Jennings, Andrew S.; Leister, William H.; Lewis, Richard T.; Lindsley, Craig W.; Naylor, Elizabeth; Street, Leslie J.; Wang, Yi; Wisnoski, David D.; Wolkenberg, Scott E.; Zhao,

Zhijian

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Merck Sharp & Dohme Limited

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PATENT INFORMATION:

	TENT															ATE		
WO	2005	0945	14		A2		2005	1013	,				10			0050	323	
WO	2005																	
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	ΚZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
		SY,	ТJ,	TM,	TN,	TR,	TT,	ΤZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		AZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙT,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	
		MR,	NE,	SN,	TD,	TG												
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EP	1729	772			A2		2006	1213		EP 2	005-	7261	05		2	0050	323	
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	ΕE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	IT,	LI,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	LV		
	1933	836			A		2007	0321		CN 2	005-	8000	9593		2	0050	323	
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IN	2006	CN03	155		A		2007	0608		IN 2	006-	CN31	55		2	0060	831	
US	2007	0254	880		A 1		2007	1101		US 2	007-	5939	50		2	0070		
	IORITY APPLN. INFO.:				200,1101				US 2004-555925P									
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THER S	HER SOURCE(S):						CASREACT 143:386922; MARPAT 143:386922											

Ι

II

GI

$$\begin{array}{c|c}
 & O & C1 \\
 & N & H & F
\end{array}$$

$$\begin{array}{c|c}
 & N & F & & \\
 & N & F & & \\
 & N & F & & \\
 & O = S = O & & \\
 & Me & & & \\
\end{array}$$

AB Title compds. I [R1 = H, alkyl, halo, Ph, etc.; R2 = (un)substituted Ph, heterocyclyl, alkyl, etc.; R3 = alkyl, cycloalkyl, etc.; R4-5 = H, alkyl, etc.; R6 = H, alkyl; W, X, Y, Z = C, N with the proviso that at least two of W, X, Y and Z are C, to form a pyridine, oxodihydropyridine, etc.; A = O, (un)substituted N; m = 0-1] are prepared For instance, II is prepared in 5 steps from 2-fluoropyridine, tert-Bu 4-cyanopiperidine-1-carboxylate, n-PrSO2Cl and 2-chloro-3,6-difluorobenzoyl chloride. I inhibit the glycine transporter GlyT1 [no data] and are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved.

IT 866559-77-5P

RN

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heteroaryl-substituted piperidine glycine transporter inhibitors for treatment of psychiatric disorders)

866559-77-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

IT	866558-67-0P 866558-70-5P 866558-73-8P 866558-76-1P 866558-79-4P 866558-82-9P 866558-85-2P 866558-85-2P 866558-92-1P 866558-99-8P 866559-02-6P 866559-10-6P 866559-10-6P 866559-13-9P 866559-16-2P 866559-25-3P 866559-31-1P 866559-31-1P 866559-37-7P 866559-40-2P	866558-68-1P 866558-71-6P 866558-74-9P 866558-80-7P 866558-80-7P 866558-83-0P 866558-86-3P 866558-90-9P 866558-96-5P 866559-00-4P 866559-04-8P 866559-11-7P 866559-11-7P 866559-11-7P 866559-17-3P 866559-17-3P 866559-26-4P 866559-35-5P 866559-35-5P 866559-38-8P 866559-41-3P	866558-69-2P 866558-72-7P 866558-75-0P 866558-81-8P 866558-84-1P 866558-87-4P 866558-91-0P 866558-91-0P 866559-01-5P 866559-01-5P 866559-15-1P 866559-15-1P 866559-19-5P 866559-33-3P 866559-33-3P 866559-39-9P 866559-39-9P 866559-42-4P
	866559-31-1P 866559-34-4P 866559-37-7P	866559-32-2P 866559-35-5P 866559-38-8P	866559-33-3P 866559-36-6P 866559-39-9P

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866559-58-2P
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                                   866559-60-6P
866559-61-7P
                 866559-62-8P
                                   866559-64-0P
866559-65-1P
                 866559-67-3P
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866559-69-5P
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866559-72-0P
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                                   866559-75-3P
866559-76-4P
                 866559-78-6P
                                   866559-79-7P
866559-80-0P
                 866559-81-1P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroaryl-substituted piperidine glycine transporter inhibitors for treatment of psychiatric disorders)

RN 866558-67-0 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F & O & \\ \parallel & S - Pr - n \\ \hline C - NH - CH_2 & N \\ \hline C1 & N \end{array}$$

RN 866558-68-1 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} F & O & \\ \hline & S & Pr-n \\ \hline & C-NH-CH_2 & N \\ \hline & C1 & N \end{array}$$

● HCl

RN 866558-69-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-70-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866558-71-6 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[1-methyl-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866558-72-7 CAPLUS

CN Benzamide, 2-chloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

RN 866558-73-8 CAPLUS

CN Benzamide, 2,6-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S - Pr - n \\ & C - NH - CH_2 & \\ & & O \\ & & & O \\ \end{array}$$

RN 866558-74-9 CAPLUS

CN Benzamide, 2-bromo-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ O & & \\ S - Pr - n \\ \hline \\ C - NH - CH_2 & & \\ \\ Br & & \\ \end{array}$$

RN 866558-75-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-76-1 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-77-2 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-78-3 CAPLUS

CN Benzamide, 2-fluoro-6-methoxy-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-79-4 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ O & & \\ S - Pr - n \\ \hline C - NH - CH_2 & & \\ \hline \\ C1 & & \\ Me & & \\ \end{array}$$

RN 866558-80-7 CAPLUS

CN Benzamide, 2-fluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-6-(trifluoromethyl)- (CA INDEX NAME)

RN 866558-81-8 CAPLUS

CN Benzamide, 2,6-difluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-82-9 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 866558-83-0 CAPLUS

CN Benzamide, 2,6-dichloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-84-1 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F & O & \\ \hline \\ S - Pr - n \\ \hline \\ C - NH - CH_2 \\ \hline \\ C1 & \\ \hline \\ Me \end{array}$$

RN 866558-85-2 CAPLUS

CN Benzamide, 2-chloro-4-fluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-86-3 CAPLUS

CN Benzamide, 4-chloro-2-fluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 866558-87-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(4-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ S-Pr-n \\ \hline \\ C-NH-CH_2 & O \\ \\ \end{array}$$

RN 866558-88-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(methylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & & \\ & S-Me \\ \hline \\ C-NH-CH_2 & & O \\ \end{array}$$

RN 866558-90-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(1-methylethyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-91-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & & \\$$

RN 866558-92-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(cyclopropylsulfonyl)-4-(2-pyridinyl)-4piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

RN

866558-93-2 CAPLUS Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(3-pyridinyl)-4-CN piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S-Pr-n \\ \hline \\ C1 & & \\ \end{array}$$

866558-94-3 CAPLUS RN

CN Benzamide, 2,6-dichloro-N-[[1-(propylsulfonyl)-4-(3-pyridinyl)-4piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-95-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(4-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-96-5 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[1-(propylsulfonyl)-4-(4-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-97-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(dimethylamino)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-99-8 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN

CN

RN

866559-01-5 CAPLUS Benzamide, 2,4,5-trifluoro-N-[[4-(6-methoxy-2-pyridiny1)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME) CN

RN 866559-02-6 CAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[4-(6-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 866559-04-8 CAPLUS

CN Benzamide, 2-chloro-N-[[1-(cyclopropylsulfonyl)-4-(6-methyl-2-pyridinyl)-4-piperidinyl]methyl]-3,6-difluoro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 866559-05-9 CAPLUS

CN Benzamide, N-[[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-2,4-difluoro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & \\ F & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 866559-10-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-methyl-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-11-7 CAPLUS

CN Benzamide, N-[1-methyl-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 866559-12-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-methyl-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-13-9 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[1-methyl-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-14-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-15-1 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-16-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-17-3 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-19-5 CAPLUS

CN 3-Thiophenecarboxamide, N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-(2-pyridinyl)]

piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-25-3 CAPLUS

CN 3-Thiophenecarboxamide, 2,5-dichloro-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-26-4 CAPLUS

CN 3-Thiophenecarboxamide, 4-bromo-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-27-5 CAPLUS

CN 3-Thiophenecarboxamide, 2,5-dichloro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-28-6 CAPLUS

CN 3-Thiophenecarboxamide, 4-bromo-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-29-7 CAPLUS

CN Benzamide, 2-chloro-N-[[1-(propylsulfonyl)-4-(4-pyrimidinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-30-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(4-pyrimidinyl)-4-

piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ &$$

RN 866559-31-1 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[[1-(propylsulfonyl)-4-(4-pyrimidinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-32-2 CAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[1-(propylsulfonyl)-4-(4-pyrimidinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 866559-33-3 CAPLUS

CN Benzamide, 2-chloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-34-4 CAPLUS

CN Benzamide, 2-chloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]-3,6-difluoro- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-35-5 CAPLUS

CN Benzamide, 2-chloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(6-methyl-2-pyridinyl)-4-piperidinyl]=3,6-difluoro- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-36-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(6-methyl-2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-37-7 CAPLUS

CN Benzamide, N-[[1-(1-azetidinylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-2,4-dichloro- (CA INDEX NAME)

RN 866559-38-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoro-1-azetidinyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & N & S = O \\ \hline C-NH-CH_2 & N & O \\ \hline \end{array}$$

RN 866559-39-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(3,3-difluoro-1-azetidinyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 866559-40-2 CAPLUS

CN Benzamide, N-[[1-(1-azetidinylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-2,4-dichloro- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & N - S = O \\ \hline C - NH - CH_2 & F & O \end{array}$$

RN 866559-41-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(ethylamino)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-42-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(ethylamino)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-43-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[1-[(ethylamino)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-44-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[1-[(ethylamino)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-45-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-46-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-[6-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & & \\ & S - Pr - n \\ & & \\ C1 & & \\$$

RN 866559-47-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(cyclopropylmethyl)sulfonyl]-4-(3-methyl-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-48-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-[4-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-49-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-chloro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & O \\ \parallel & S - Pr - n \\ \hline C - NH - CH_2 & & C1 \\ \end{array}$$

RN 866559-50-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 866559-51-5 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(3-chloro-2-pyridinyl)-1-(ethylsulfonyl)-4-piperidinyl]methyl]-3,6-difluoro- (CA INDEX NAME)

$$\begin{array}{c|c} F & O & & \\ \hline & S - Et \\ \hline & C - NH - CH_2 & \\ \hline & C1 & \\ F & \end{array}$$

RN 866559-52-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(cyclopropylmethyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

RN 866559-53-7 CAPLUS

CN Acetic acid, 2-[[4-[[(2,4-dichlorobenzoyl)amino]methyl]-4-(2-pyridinyl)-1-piperidinyl]sulfonyl]-, methyl ester (CA INDEX NAME)

RN 866559-54-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyrazinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-55-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[1-[(3-fluoropropyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-56-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoropropyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-57-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoropropyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-58-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(cyclopropylmethyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c}
CH_2 - S - N \\
CH_2 - S - N
\end{array}$$

RN 866559-59-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-60-6 CAPLUS

CN Benzamide, 2-chloro-N-[[1-[(cyclopropylmethyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-3,6-difluoro- (CA INDEX NAME)

RN 866559-61-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(cyclopropylmethyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-5-fluoro- (CA INDEX NAME)

RN 866559-62-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-(3-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & Me & S-Pr-n \\ \hline & C-NH-CH & F & O \\ \hline \end{array}$$

RN 866559-64-0 CAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[1-(propylsulfonyl)-4-[6-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 866559-65-1 CAPLUS

CN Benzamide, 4-bromo-2-chloro-N-[[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ S-Et \\ \hline \\ C-NH-CH_2 & F \end{array}$$

RN 866559-67-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-68-4 CAPLUS

CN Benzamide, 2-bromo-N-[[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-4-fluoro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 866559-69-5 CAPLUS

CN Benzamide, 2-chloro-N-[[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-3,6-difluoro- (CA INDEX NAME)

RN 866559-70-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(ethylsulfonyl)-4-[3-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S - Et \\ \hline \\ C1 & C - NH - CH_2 & \\ & CF_3 & \\ \end{array}$$

RN 866559-71-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoropropyl)sulfonyl]-4-[3-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-72-0 CAPLUS

CN Benzamide, N-[[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-2,4,6-trifluoro- (CA INDEX NAME)

RN 866559-74-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S - Et \\ \hline \\ C1 & & \\ \end{array}$$

RN 866559-75-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-(3-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-76-4 CAPLUS

CN Benzamide, N-[[4-(3-bromo-2-pyridiny1)-1-(propylsulfony1)-4-piperidiny1]methy1]-2,4-dichloro- (CA INDEX NAME)

RN 866559-78-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-chloro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & & & \\ & & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\$$

RN 866559-79-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(1,6-dihydro-6-oxo-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S & Pr-n \\ \hline & C-NH-CH_2 & & O \\ \hline & NH & & O \\ \end{array}$$

RN 866559-80-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-hydroxy-2-pyridiny1)-1-(propylsulfony1)-4-piperidiny1]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 866559-81-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[(2R,4S)-2-methyl-1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

IT 866559-91-3P 866559-92-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heteroaryl-substituted piperidine glycine transporter inhibitors for treatment of psychiatric disorders)

RN 866559-91-3 CAPLUS

CN Carbamic acid, [[4-[[(2,4-dichlorobenzoyl)amino]methyl]-4-(2-pyridinyl)-1-piperidinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 866559-92-4 CAPLUS

CN Carbamic acid, [[4-[[(2,4-dichlorobenzoyl)amino]methyl]-4-(2-pyridinyl)-1-piperidinyl]sulfonyl]ethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L12 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:698366 CAPLUS

DOCUMENT NUMBER: 143:166724

TITLE: Prodrugs of potassium channel inhibitors, and

preparation thereof

INVENTOR(S): Gross, Michael F.; Lloyd, John

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 25 pp., Cont.-in-part of U.S.

Ser. No. 417,355.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
US 20050171	 156	A1	20050804	US 2005-28399	20050103
US 7435824 US 20040110	793	B2 A1	20081014 20040610	US 2003-417355	20030416
US 7005436		В2	20060228		
US 20060014 US 7582654	192	A1 B2	20060119 20090901	US 2005-186991	20050721
WO 20060739	67	A1	20060713	WO 2005-US47183	20051227
W: AE,	AG, AL,	AM, Al	r, AU, AZ,	BA, BB, BG, BR, BW, BY,	BZ, CA, CH,
CN.	CO. CR.	CU. CZ	Z. DE. DK.	DM, DZ, EC, EE, EG, ES.	FI. GB. GD.

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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
             MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
             SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
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             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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     EP 1841741
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                                            EP 2005-855697
                                                                    20051227
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PRIORITY APPLN. INFO.:
                                            US 2002-374279P
                                                                P 20020419
                                            US 2003-417355
                                                                A2 20030416
                                            US 2005-28399
                                                                A 20050103
                                            WO 2005-US47183
                                                                    20051227
                                                                M
                         CASREACT 143:166724; MARPAT 143:166724
OTHER SOURCE(S):
GΙ
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AB The invention discloses compds. useful as prodrugs of potassium channel inhibitor compds., in particular as prodrugs of Kv1.5 channel inhibitors. Preparation of compds. of the invention, e.g. I, is described.

IT 1056139-22-0 1056139-24-2 1056139-27-5 1056139-29-7 1056139-30-0 1056139-31-1 1056139-32-2 1056139-33-3

RL: PRPH (Prophetic)

(Prodrugs of potassium channel inhibitors, and preparation thereof)

RN 1056139-22-0 CAPLUS

CN Benzamide, 4-chloro-N-[[4-(3-fluorophenyl)-1-[[(1-oxobutyl)amino]sulfonyl]-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 1056139-24-2 CAPLUS

CN Benzamide, $2-\text{methoxy-N-}[[1-[[(1-\text{oxobutyl})amino]sulfonyl]-4-(2-\text{thienyl})-4-(2-\text$

piperidinyl]methyl]- (CA INDEX NAME)

RN 1056139-27-5 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-[[(1-oxobutyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 1056139-29-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

OME O
$$C-CH_2-NH_2$$
 $C-NH-CH_2$ $C-NH-CH_$

RN 1056139-30-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1056139-31-1 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[4-(3-fluorophenyl)-1-[[(1-oxobutyl)amino]sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 1056139-32-2 CAPLUS

CN Benzamide, N-[[1-[(benzoylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 1056139-33-3 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[(1-oxobutyl)amino]sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

IT 619292-85-2P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT

(Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(potassium channel inhibitor prodrugs, and preparation)

RN 619292-85-2 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-(3-fluorophenyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

IT 619278-40-9 861214-44-0 861214-47-3
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (potassium channel inhibitor prodrugs, and preparation)

RN 619278-40-9 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-phenyl-4-piperidinyl]methyl]-2-methoxy-(CA INDEX NAME)

RN 861214-44-0 CAPLUS

CN Benzamide, N-[[1-[(acetylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 861214-47-3 CAPLUS

CN Benzamide, N-[[1-[[(2-aminoacetyl)amino]sulfonyl]-4-(3-fluorophenyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

IT 861214-42-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(potassium channel inhibitor prodrugs, and preparation)

RN 861214-42-8 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[(1-oxobutyl)amino]sulfonyl]-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

IT 861214-43-9P 861214-45-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(potassium channel inhibitor prodrugs, and preparation)

RN 861214-43-9 CAPLUS

CN Benzamide, N-[[1-[[(2-aminoacetyl)amino]sulfonyl]-4-(3-fluorophenyl)-4-piperidinyl]methyl]-2-methoxy-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[(1-oxobutyl)amino]sulfonyl]-4-piperidinyl]methyl]-2-methoxy-, sodium salt (1:1) (CA INDEX NAME)

Na

IT 861214-46-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(potassium channel inhibitor prodrugs, and preparation)

RN 861214-46-2 CAPLUS

CN Carbamic acid, [2-[[[4-(3-fluorophenyl)-4-[[(2-methoxybenzoyl)amino]methyl]-1-piperidinyl]sulfonyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD

(5 CITINGS)

REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:451128 CAPLUS

DOCUMENT NUMBER: 142:476263

TITLE: 4-Phenylpiperidine derivative glycine transporter

inhibitors for the treatment of neurological and

psychiatric disorders

INVENTOR(S): Lindsley, Craig W.; Wisnoski, David D.; Zhao, Zhijian

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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DATE APPLICATION NO. DATE
    PATENT NO.
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                       A2
                              20050526
                                         WO 2004-US37359
                                                                20041110
    WO 2005046601
    WO 2005046601
                        A3 20050818
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
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                              20050526
                                          AU 2004-289290
    AU 2004289290
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                                                                20041110
    CA 2544981
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                                                                20041110
                        A2
    EP 1684759
                              20060802
                                          EP 2004-810610
                                                                20041110
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    CN 1878551
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                              20061213
                                          CN 2004-80033295
                                                                20041110
    JP 2007512251
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                               20070517
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    IN 2006DN01895
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                               20070615
                                                                20060407
    US 20070105902
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                                          US 2006-579261
                                                                20060511
PRIORITY APPLN. INFO.:
                                          US 2003-519348P
                                                             P 20031112
                                                             W 20041110
                                          WO 2004-US37359
OTHER SOURCE(S):
                       MARPAT 142:476263
    The invention discloses 4-phenylpiperidine derivs, that inhibit the
    glycine transporter GlyT1 and which are useful in the treatment of neurol.
    and psychiatric disorders associated with glycinergic or glutamatergic
    neurotransmission dysfunction and diseases in which the glycine
    transporter GlyT1 is involved. Compound preparation is described.
                    852029-11-9P 852029-12-0P
TΤ
    852029-09-5P
    852029-13-1P
                   852029-16-4P
                                    852029-17-5P
    852029-18-6P
                   852029-19-7P
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    852029-74-4P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (phenylpiperidine derivative glycine transporter inhibitors for treatment
       of neurol. and psychiatric disorders)
    852029-09-5 CAPLUS
RN
CN
    Benzamide, 2-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-
```

(CA INDEX NAME)

$$\begin{array}{c|c} O & \\ \parallel & \\ S-\text{Pr-n} \\ \parallel & \\ C-\text{NH-CH}_2 \end{array}$$

RN 852029-11-9 CAPLUS

CN Benzamide, 4-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ O & & \\ S - Pr - n \\ C - NH - CH_2 - & \\ Ph & & \\ \end{array}$$

RN 852029-12-0 CAPLUS

CN Benzamide, 2-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ \parallel & & \\ S - \text{Pr-n} \\ \parallel & & \\ C - \text{NH-CH}_2 - & & \\ & & Ph \end{array}$$

RN 852029-13-1 CAPLUS

CN Benzamide, 2-methyl-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-16-4 CAPLUS

CN Benzamide, 2,6-difluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-17-5 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-4-(trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & O \\ \parallel & & \\ S - \text{Pr-n} \\ \hline C - \text{NH} - \text{CH}_2 & & O \\ \end{array}$$

RN 852029-18-6 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ O & \\ S-Pr-n \\ \hline \\ CF_3 \end{array}$$

RN 852029-19-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ \parallel \\ S - Pr - n \\ \hline \\ C - NH - CH_2 - Ph \\ \end{array}$$

RN 852029-21-1 CAPLUS

CN Benzamide, 2,3-difluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-22-2 CAPLUS

CN Benzamide, 3-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-4-(trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

RN 852029-23-3 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 852029-24-4 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-25-5 CAPLUS

CN Benzamide, 2-(difluoromethoxy)-N-[[4-phenyl-1-(propylsulfonyl)-4-phenyl-1-(propyl

piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ O & & & \\ S-Pr-n \\ \hline C-NH-CH_2 & & \\ O-CHF_2 & & \\ \end{array}$$

RN 852029-26-6 CAPLUS

CN Benzamide, 2,5-dichloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & O \\ & & & & \\ & & &$$

RN 852029-27-7 CAPLUS

CN Benzamide, 2,6-dichloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-28-8 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ \parallel & S-Pr-n \\ \parallel & N & \parallel \\ Ph-C-NH-CH_2 & & O \\ \end{array}$$

RN 852029-29-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-(methylthio)-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-30-2 CAPLUS

CN 3-Pyridinecarboxamide, 2,6-dichloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-31-3 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-32-4 CAPLUS

CN Benzamide, 2-chloro-6-methyl-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-33-5 CAPLUS

CN Benzamide, 2-bromo-3-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & O \\ \parallel & & & \\ O & & & \\ S - Pr - n \\ \parallel & & \\ C - NH - CH_2 - & \\ & & \\ Br & & \\ Ph & & \\ \end{array}$$

RN 852029-34-6 CAPLUS

CN Benzamide, 2-(2,2-difluoroacetyl)-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

RN 852029-35-7 CAPLUS

CN Benzamide, 2-bromo-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 852029-36-8 CAPLUS

CN Benzamide, 2-amino-6-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & NH2 & & & & \\ & & S-Pr-n \\ & & & \\ C-NH-CH2 & & & \\ & & Ph & \\ \end{array}$$

RN 852029-37-9 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-

piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} NH_2 & O & \\ \hline \\ C-NH-CH_2 & O \\ \hline \\ C1 & Ph \end{array}$$

RN 852029-38-0 CAPLUS

CN Benzamide, 2-amino-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-4-(trifluoromethyl)- (CA INDEX NAME)

RN 852029-39-1 CAPLUS

CN Benzamide, 2-iodo-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-40-4 CAPLUS

CN Benzamide, 2-fluoro-6-iodo-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 852029-41-5 CAPLUS

CN Benzamide, 2-(2,2-difluoroacetyl)-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-42-6 CAPLUS

CN Benzamide, 2-[(difluoromethyl)thio]-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-43-7 CAPLUS

CN Benzamide, 2,3-difluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-44-8 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-46-0 CAPLUS

CN Benzamide, 2,5-difluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-47-1 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-(CA INDEX NAME)

Absolute stereochemistry.

RN 852029-48-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-49-3 CAPLUS

CN Benzamide, 2-fluoro-6-hydroxy-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{OH} & \text{O} & \text{O} \\ \parallel & \text{S-Pr-n} \\ \hline \text{C-NH-CH}_2 & \text{Ph} \\ \end{array}$$

RN 852029-50-6 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-51-7 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-52-8 CAPLUS

CN Benzamide, 2-bromo-3-fluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-53-9 CAPLUS

CN Benzamide, N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 852029-54-0 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-55-1 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-56-2 CAPLUS

CN Benzamide, N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(methylthio)- (CA INDEX NAME)

RN 852029-57-3 CAPLUS

CN Benzamide, N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]-2- (trifluoromethoxy)- (CA INDEX NAME)

RN 852029-58-4 CAPLUS

CN Benzamide, 2-chloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)

RN 852029-59-5 CAPLUS

CN Benzamide, 4-chloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)

RN 852029-60-8 CAPLUS

CN Benzamide, 2,6-dichloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)

RN 852029-61-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)

RN 852029-62-0 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)

RN 852029-63-1 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-64-2 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(3-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-65-3 CAPLUS

CN Benzamide, 2,6-difluoro-N-[[4-(3-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-66-4 CAPLUS

RN 852029-67-5 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-(2-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-68-6 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-[[(2,2,2-trifluoroethyl)amino]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C \\ C \\ C \\ C \\ C \\ O \end{array} \begin{array}{c} C \\ N \\ N \\ O \end{array} \begin{array}{c} O \\ \parallel \\ S \\ P \\ O \end{array} \begin{array}{c} O \\ \parallel \\ S \\ P \\ O \end{array}$$

RN 852029-69-7 CAPLUS

CN Benzamide, 2-[[[2-(diethylamino)ethyl]amino]methyl]-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-70-0 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-2-[[(2,2,2-trifluoroethyl)amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-71-1 CAPLUS

CN Benzamide, 2-[[[2-(diethylamino)ethyl]amino]methyl]-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-72-2 CAPLUS

CN Benzamide, 2-[[3-(dimethylamino)-1-pyrrolidinyl]methyl]-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-73-3 CAPLUS

CN 3-Pyridinecarboxamide, 4-iodo-2-(methylamino)-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-74-4 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(2-hydroxyethyl)amino]-4-iodo-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L12 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:855758 CAPLUS

DOCUMENT NUMBER: 139:364829

TITLE: Preparation of heterocyclo inhibitors of potassium

channel function

INVENTOR(S): Lloyd, John; Jeon, Yoon T.; Finlay, Heather; Yan, Lin;

Beaudoin, Serge; Gross, Michael F.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Icagen, Inc.

SOURCE: PCT Int. Appl., 330 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.				KIND		DATE			APPLICATION NO.				DATE				
WO	WO 2003088908 WO 2003088908			A2 20031030 A3 20040527				WO 2003-US11807					20030416				
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	ВG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW					
	RW:	GH,	GM,	KE,	LS,	MW,	MΖ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG

AU 2003223651 20031103 AU 2003-223651 20030416 Α1 EP 2003-719792 20050202 EP 1501467 A2 20030416 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK JP 2005529114 Τ 20050929 JP 2003-585661 20030416 NO 2004004351 20041013 NO 2004-4351 20041013 Α Ρ PRIORITY APPLN. INFO.: US 2002-374279P 20020419 WO 2003-US11807 W 20030416

OTHER SOURCE(S): MARPAT 139:364829

GΙ

AB The title compds. [I; m, p = 0-3 (provided that the sum of m and p is at least 2); Q = NR1, O, S, SO, SO2; R1 = H, C(:W)NR6R7, SO2NR6R7, OCONR6R7, etc.; R2 = heteroaryl, heteroarylalkyl, aryl, etc.; J = a bond, alkylene; R3 = R5, OR5, SO2R5, etc.; R5 = CN, heteroaryl, aryl, etc.; R6, R7 = H, alkyl, OH, etc.; W = (un)substituted NH, N(CO2H), N(CN), N(SO2H), CH(NO2); Rx = H, alkyl, hydroxyalkyl, aryl, etc.], useful as inhibitors of potassium channel function (especially inhibitors of the Kv1 subfamily of voltage gated K+ channels, especially inhibitors Kv1.5 which has been linked to the ultra-rapidly activating delayed rectifier K+ current IKur) in the prevention and treatment of arrhythmia and IKur-associated conditions, were prepared E.g., a multi-step synthesis of II [starting from bis(2-chloroethyl)amine], was given. Pharmaceutical composition comprising the compound I is claimed.

IT 619292-97-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of substituted piperidines as inhibitors of potassium channel

function)
RN 619292-97-6 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-[(2-methyl-1H-imidazol-1-yl)sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

ΙT	619277-83-7P	619277-88-2P	619277-93-9P
	619277-98-4P	619278-03-4P	619278-09-0P
	619278-15-8P	619278-20-5P	619278-25-0P
	619278-31-8P	619278-36-3P	619278-40-9P
	619278-45-4P	619278-50-1P	619278-54-5P
	619278-59-0P	619278-64-7P	619278-69-2P
	619278-74-9P	619278-79-4P	619292-56-7P
	619292-62-5P	619292-75-0P	619292-76-1P
	619292-79-4P	619292-80-7P	619292-81-8P
	619292-82-9P	619292-83-0P	619292-85-2P
	619292-86-3P	619292-87-4P	619292-88-5P
	619292-89-6P	619292-90-9P	619292-91-0P
	619292-92-1P	619292-93-2P	619292-94-3P
	619292-95-4P	619292-96-5P	619292-98-7P
	619292-99-8P	619293-00-4P	619293-01-5P
	619293-02-6P	619293-03-7P	619293-04-8P
	619293-05-9P	619293-06-0P	619293-07-1P
	619293-08-2P	619293-09-3P	619293-10-6P
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	619293-55-9P	619293-56-0P	619293-57-1P
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	619293-64-0P	619293-65-1P	619293-66-2P
	619293-67-3P	619293-68-4P	619293-69-5P
	619293-70-8P	619293-71-9P	619293-72-0P

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                                   619293-82-2P
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619294-01-8P
                 619294-02-9P
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619294-04-1P
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                                   619294-06-3P
619295-07-7P
                 619295-08-8P
                                   619295-09-9P
619295-10-2P
                 619295-11-3P
                                   619295-12-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (preparation of substituted piperidines as inhibitors of potassium channel
   function)
619277-83-7 CAPLUS
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RN

CN Benzamide, 2-methoxy-N-[[1-(propylsulfonyl)-4-(2-thienyl)-4piperidinyl]methyl]- (CA INDEX NAME)

RN 619277-88-2 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-(phenylsulfonyl)-4-(2-thienyl)-4piperidinyl]methyl]- (CA INDEX NAME)

RN 619277-93-9 CAPLUS

CN Benzamide, N-[[1-[(4-fluorophenyl)sulfonyl]-4-(2-thienyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619277-98-4 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-(2-thienyl)-1-[[(2,2,2-trifluoroethyl)amino]sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619278-03-4 CAPLUS

CN Benzamide, N-[[1-[(dimethylamino)sulfonyl]-4-(2-thienyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619278-09-0 CAPLUS

CN Benzamide, N-[[1-[[(4-fluorophenyl)methyl]amino]sulfonyl]-4-(2-thienyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619278-15-8 CAPLUS

CN Carbamic acid, [(4-fluorophenyl)methyl]-, 2-[[[4-[[(2-methoxybenzoyl)amino]methyl]-4-(2-thienyl)-1-piperidinyl]sulfonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

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RN 619278-20-5 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-[(phenylamino)sulfonyl]-4-(2-thienyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619278-25-0 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-[(methylamino)sulfonyl]-4-(2-thienyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619278-31-8 CAPLUS

CN Benzamide, N-[[1-[[1-(4-fluorophenyl)ethyl]amino]sulfonyl]-4-(2-thienyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619278-36-3 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-[(propylamino)sulfony1]-4-(2-thieny1)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619278-40-9 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-phenyl-4-piperidinyl]methyl]-2-methoxy-(CA INDEX NAME)

$$\begin{array}{c|c} & \circ & \circ \\ & \parallel & \text{S-NH}_2 \\ \hline & C-\text{NH-CH}_2 & \bullet & \circ \\ & \text{OMe} & & \end{array}$$

RN 619278-45-4 CAPLUS

CN Benzamide, N-[[1-[(dimethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} O & O \\ \parallel & S-NMe_2 \\ \hline C-NH-CH_2 & O \\ \hline OMe & \end{array}$$

RN 619278-50-1 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-[[(2-methoxyethyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619278-54-5 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[[(phenylmethyl)amino]sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ | \\ S - NH - CH_2 - Ph \\ O \\ OMe \end{array}$$

RN 619278-59-0 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[(propylamino)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619278-64-7 CAPLUS

CN Benzamide, N-[[1-[[(4-fluorophenyl)methyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619278-69-2 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[(2-propen-1-ylamino)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & O \\ \parallel & S-NH-CH_2-CH \end{array} \\ C-NH-CH_2 & O \\ Ph & O \end{array}$$

RN 619278-74-9 CAPLUS

 $\label{eq:cn_substitution} \textbf{CN} \qquad \textbf{Benzamide, N-[[1-[[(2-hydroxyethyl)amino]sulfonyl]-4-phenyl-4-}$

piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 619278-79-4 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-[(2-oxo-3-oxazolidinyl)sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619292-56-7 CAPLUS

CN Benzamide, N-[[1-[(cyclohexylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} O & O \\ N - S - NH \\ \hline \\ OMe \end{array}$$

RN 619292-62-5 CAPLUS

CN Benzamide, N-[[1-(1H-imidazol-1-ylsulfonyl)-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619292-75-0 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-phenyl-4-piperidinyl]methyl]-5-chloro-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{O} \\ \text{S-NH}_2 \\ \text{OMe} \end{array}$$

RN 619292-76-1 CAPLUS

CN Benzamide, 5-chloro-N-[[1-[[[(4-fluorophenyl)methyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619292-79-4 CAPLUS

CN 7-Benzofurancarboxamide, N-[[1-(aminosulfonyl)-4-phenyl-4-piperidinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

CN

RN 619292-80-7 CAPLUS

7-Benzofurancarboxamide, N-[[1-[[[(4-fluorophenyl)methyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

PAGE 1-A

RN 619292-81-8 CAPLUS

CN Benzamide, N-[[4-(3,6-dihydro-2H-pyran-4-yl)-1-[(dimethylamino)sulfonyl]-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619292-82-9 CAPLUS

CN Benzamide, N-[[1-(aminosulfony1)-4-(3-thieny1)-4-piperidiny1]methy1]-2-methoxy- (CA INDEX NAME)

RN 619292-83-0 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-(4-fluorophenyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 619292-85-2 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-(3-fluorophenyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ O & & & \\ S-NH_2 \\ \hline C-NH-CH_2 & & \\ OMe & & \\ \end{array}$$

RN 619292-86-3 CAPLUS

CN Benzamide, N-[[1-[(dimethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-fluoro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 619292-87-4 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-phenyl-4-piperidinyl]methyl]-2,3-dimethoxy- (CA INDEX NAME)

RN 619292-88-5 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-(2-fluorophenyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & O \\ \parallel & & S - NH_2 \\ \hline C - NH - CH_2 & & & O \\ \hline OMe & & & & F \end{array}$$

RN 619292-89-6 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[[(2-pyridinylmethyl)amino]sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & & \\ \hline O & & & & \\ \hline C-NH-CH_2 & & & \\ \hline OMe & & Ph & \\ \end{array}$$

RN 619292-90-9 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-(3-methoxyphenyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619292-91-0 CAPLUS

CN Benzamide, N-[[1-[[[2-[(aminocarbonyl)oxy]ethyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ \parallel & S-NH-CH_2-CH_2-O-C-NH_2 \\ \hline O & 0 & \\ \parallel & S-NH-CH_2-CH_2-O-C-NH_2 \\ \hline O & O & \\ \parallel & S-NH-CH_2-CH_2-O-C-NH_2 \\ \hline O & 0 & \\ \parallel & S-NH-CH_2-CH_2-O-C-NH_2 \\ \hline O & 0 & \\ \parallel & S-NH-CH_2-CH_2-O-C-NH_2 \\ \hline O & 0 & \\ \parallel & S-NH-CH_2-CH_2-O-C-NH_2 \\ \hline O & 0 & \\ \parallel & S-NH-CH_2-CH_2-O-C-NH_2 \\ \hline O & 0 & \\ \parallel & S-NH-CH_2-CH_2-O-C-NH_2 \\ \hline O & 0 & \\ \parallel & S-NH-CH_2-CH_2-O-C-NH_2 \\ \hline O & 0 & \\ \parallel & S-NH-CH_2-CH_2-O-C-NH_2 \\ \hline O & 0 & \\ \parallel & S-NH-CH_2-CH_2-O-C-NH_2 \\ \hline O & 0 & \\ \parallel & S-NH-CH_2-CH_2-O-C-NH_2 \\ \hline O & 0 & \\ \end{array}$$

RN 619292-92-1 CAPLUS

CN Carbamic acid, ethyl-, 2-[[[4-[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-1-piperidinyl]sulfonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

RN 619292-93-2 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[[[2-(4-pyridinyl)ethyl]amino]sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

RN 619292-94-3 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-phenyl-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 619292-95-4 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-phenyl-4-piperidinyl]methyl]-2,6-dimethoxy- (CA INDEX NAME)

RN 619292-96-5 CAPLUS

CN Carbamic acid, cyclopropyl-, 2-[[[4-[[(2-methoxybenzoyl)amino]methyl]-4-

phenyl-1-piperidinyl]sulfonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

RN 619292-98-7 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-[(methylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619292-99-8 CAPLUS

CN Benzamide, N-[[1-[(ethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-00-4 CAPLUS

CN Benzamide, N-[[1-[(cyclopropylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ &$$

RN 619293-01-5 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[[[[(2R)-tetrahydro-2-furanyl]methyl]amino]sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-02-6 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-[[methyl(1-methylethyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619293-03-7 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[[(3-pyridinylmethyl)amino]sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & & \\ O & & & \\ C-NH-CH_2 & & & \\ O & & & \\ O & & & \\ \end{array}$$

RN 619293-04-8 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[[(4-pyridinylmethyl)amino]sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & O \\ N - S - NH - CH_2 - O \\ O \\ O \end{array}$$

RN 619293-05-9 CAPLUS

CN Benzamide, N-[[1-[[(2-hydroxypropyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-06-0 CAPLUS

CN Carbamic acid, [2-[[[4-[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-1-piperidinyl]sulfonyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 619293-07-1 CAPLUS

CN Benzamide, N-[[1-[[(2-hydroxyethyl)methylamino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-08-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[[1-[(dimethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 619293-09-3 CAPLUS

CN 8-Quinolinecarboxamide, N-[[1-[(dimethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619293-10-6 CAPLUS

CN Benzamide, N-[[1-[[(cyclopropylmethyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

RN 619293-11-7 CAPLUS

CN Benzamide, N-[[1-[[(2S)-2-hydroxy-1-pyrrolidinyl]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-12-8 CAPLUS

CN Benzamide, N-[[1-[[[2-(dimethylamino)ethyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\$$

RN 619293-13-9 CAPLUS

CN Benzamide, N-[[1-[[(2-fluoroethy1)amino]sulfony1]-4-pheny1-4-piperidiny1]methy1]-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 619293-14-0 CAPLUS

CN Benzamide, N-[[1-[[(2-amino-2-oxoethy1)amino]sulfony1]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-15-1 CAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[[4-[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-1-piperidinyl]sulfonyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-16-2 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-[[(1-methylethyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619293-17-3 CAPLUS

CN Benzamide, N-[[1-[[(2-aminoethyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & \\ & & & \\ & &$$

RN 619293-20-8 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[(4-pyridinylamino)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619293-21-9 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[(3-pyridinylamino)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & & \\ \hline O & & & & \\ \hline C-NH-CH_2 & & & \\ \hline OMe & & Ph & \\ \end{array}$$

RN 619293-22-0 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[(2-pyridinylamino)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ \hline O & & N & S - NH \\ \hline C - NH - CH_2 & & O \\ \hline OMe & & Ph \end{array}$$

RN 619293-23-1 CAPLUS

CN Benzamide, N-[[1-[(4-hydroxy-1-piperidinyl)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-24-2 CAPLUS

CN Benzamide, N-[[1-[[(3,4-difluorophenyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-25-3 CAPLUS

CN Benzamide, N-[[1-[[(2,4-difluorophenyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-26-4 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[(phenylamino)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619293-27-5 CAPLUS

CN Benzamide, N-[[1-[[[(2R)-2-hydroxypropyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-28-6 CAPLUS

CN Benzamide, N-[[1-[[(1R)-2-hydroxy-1-methylethyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-29-7 CAPLUS

CN Benzamide, N-[[1-[[[(1R)-1-(hydroxymethyl)propyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-30-0 CAPLUS

CN Benzamide, N-[[1-[[[(2S)-2-hydroxypropy1]amino]sulfony1]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-31-1 CAPLUS

CN Benzamide, N-[[1-[[(1S)-1-(hydroxymethyl)propyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-32-2 CAPLUS

CN Benzamide, N-[[1-[[(1S)-2-hydroxy-1-methylethyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-33-3 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-[[(2-phenoxyethyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619293-34-4 CAPLUS

CN Glycine, N-[[4-[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-1-piperidinyl]sulfonyl]-, methyl ester (CA INDEX NAME)

RN 619293-35-5 CAPLUS

CN L-Alanine, N-[[4-[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-1-piperidinyl]sulfonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-36-6 CAPLUS

CN L-Phenylalanine, N-[[4-[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-1-piperidinyl]sulfonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-37-7 CAPLUS

RN 619293-38-8 CAPLUS

CN Benzamide, N-[[1-[(dimethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-fluoro-6-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} & & & & \\ \text{OMe} & & & & \\ \text{C-NH-CH}_2 & & & \\ \text{F} & & \text{Ph} & \\ \end{array}$$

RN 619293-39-9 CAPLUS

CN Benzamide, 2-(difluoromethoxy)-N-[[1-[(dimethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 619293-40-2 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-[(dimethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & O \\ \parallel & S - NMe_2 \\ N & \parallel & O \\ N & C - NH - CH_2 - Ph \end{array}$$

RN 619293-41-3 CAPLUS

CN Benzamide, N-[[1-[[(4-fluorophenyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-42-4 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-[(methoxymethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619293-43-5 CAPLUS

CN Benzamide, N-[[1-[(hydroxyamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-44-6 CAPLUS

CN Benzamide, N-[[1-[[(2-fluorophenyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} O & F \\ \hline O & N - S - NH \\ \hline C - NH - CH_2 - D \\ \hline OMe & Ph \end{array}$$

RN 619293-45-7 CAPLUS

CN Benzamide, N-[[1-[[(3-fluorophenyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-46-8 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[[(tetrahydro-1,1-dioxido-3-thienyl)amino]sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

PAGE 1-A

RN 619293-47-9 CAPLUS

CN Benzamide, N-[[1-[[4-(hydroxymethyl)-1-piperidinyl]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} O & CH_2-OH \\ \hline O & S-N \\ \hline C-NH-CH_2-OH \\ O & OMe \\ \end{array}$$

RN 619293-48-0 CAPLUS

CN Benzamide, N-[[1-[[(2-fluorophenyl)methylamino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 619293-49-1 CAPLUS

CN Benzamide, N-[[1-[[(3-fluorophenyl)methylamino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-50-4 CAPLUS

CN Benzamide, N-[[1-[(hydroxymethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{O} & \text{OH} \\ & \text{S-N-Me} \\ \hline \\ \text{OMe} \end{array}$$

RN 619293-51-5 CAPLUS

CN Benzamide, N-[[1-[[(1,1-dimethylethyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-52-6 CAPLUS

CN Benzamide, N-[[1-[(4,4-dimethyl-3-oxazolidinyl)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-53-7 CAPLUS

CN Benzamide, N-[[1-[[(2R,6S)-2,6-dimethyl-4-morpholinyl]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 619293-54-8 CAPLUS

CN Benzamide, N-[[1-[(4,5-dihydro-4,4-dimethyl-1H-imidazol-1-yl)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-55-9 CAPLUS

CN Benzamide, N-[[1-[[(2-hydroxy-1,1-dimethylethyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-56-0 CAPLUS

CN Benzamide, N-[[1-[[[(4-hydroxyphenyl)methyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-57-1 CAPLUS

CN Benzamide, N-[[1-[[(3-hydroxyphenyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-58-2 CAPLUS

CN Benzamide, N-[[1-[[[(1R,2R)-2-hydroxycyclohexyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 619293-59-3 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-[[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-60-6 CAPLUS

CN Benzamide, N-[[1-[[[1-(hydroxymethyl)-2-methylpropyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-61-7 CAPLUS

CN Benzamide, N-[[1-[[(1S)-1-(hydroxymethyl)-2-methylpropyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-62-8 CAPLUS

CN Benzamide, N-[[1-[[((1S)-2-cyclohexyl-1-(hydroxymethyl)ethyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-63-9 CAPLUS

CN Benzamide, N-[[1-[[(1R,2S)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-64-0 CAPLUS

CN Benzamide, N-[[1-[[(1S,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-65-1 CAPLUS

CN Benzamide, N-[[1-[[(3R)-3-hydroxy-1-pyrrolidinyl]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-66-2 CAPLUS

CN L-Proline, 1-[[4-[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-1-piperidinyl]sulfonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-67-3 CAPLUS

CN L-Valine, N-[[4-[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-1-piperidinyl]sulfonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-68-4 CAPLUS

CN Benzamide, 2-hydroxy-6-methoxy-N-[[1-[[(2-methoxyethyl)methylamino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619293-69-5 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-phenyl-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} & \text{O} & \text{S-NH}_2 \\ \hline & \text{C-NH-CH}_2 & \text{Ph} \\ \end{array}$$

RN 619293-70-8 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-(3-fluorophenyl)-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

RN 619293-71-9 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[(2-methyl-1H-imidazol-1-yl)sulfonyl]-1-[(2-methyl-1H-imidazol-1-yl)sulfonyl]-1-[(3-fluorophenyl)-1-[(3-methyl-1H-imidazol-1-yl)sulfonyl]-1-[(3-methyl-1H-imidazol-1-

RN 619293-72-0 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[(2-hydroxyethyl)amino]sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} \text{OH} & \text{O} & \text{S-NH-CH}_2\text{-CH}_2\text{-OH} \\ \text{C-NH-CH}_2 & \text{OMe} & \text{O} \end{array}$$

RN 619293-73-1 CAPLUS

CN Benzamide, N-[[1-[[(cyclopropylmethyl)amino]sulfonyl]-4-(3-fluorophenyl)-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\$$

RN 619293-74-2 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[(4-fluorophenyl)amino]sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

RN 619293-75-3 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[[(4-hydroxyphenyl)methyl]amino]sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

RN 619293-76-4 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[[(1R)-2-hydroxy-1-methylethyl]amino]sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy-(CA INDEX NAME)

Absolute stereochemistry.

RN 619293-77-5 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[[(1R)-1-(hydroxymethyl)propyl]amino]sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-78-6 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[[(2S)-2-hydroxypropyl]amino]sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy-(CA INDEX NAME)

Absolute stereochemistry.

RN 619293-79-7 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[(3R)-3-hydroxy-1-pyrrolidinyl]sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

RN 619293-80-0 CAPLUS

CN Benzamide, 2-hydroxy-6-methoxy-N-[[1-[(2-methyl-1H-imidazol-1-yl)sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619293-82-2 CAPLUS

CN 1H-Imidazolium, 3-[[4-(3-fluorophenyl)-4-[[(2-hydroxy-6-methoxybenzoyl)amino]methyl]-1-piperidinyl]sulfonyl]-1,2-dimethyl-, 1,1,1-trifluoromethanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 619293-81-1

CMF C25 H30 F N4 O5 S

PAGE 1-A

CM 2

CRN 37181-39-8 CMF C F3 O3 S

RN 619293-83-3 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[(2-phenoxyethyl)amino]sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

RN 619293-84-4 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[(2-hydroxyethyl)methylamino]sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

RN 619293-85-5 CAPLUS

CN Benzamide, N-[[4-(3-fluoropheny1)-1-[[[[(2R)-tetrahydro-2-furany1]methy1]amino]sulfony1]-4-piperidiny1]methy1]-2-hydroxy-6-methoxy-

(CA INDEX NAME)

Absolute stereochemistry.

RN 619293-86-6 CAPLUS

CN Benzamide, N-[[1-[(dimethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-hydroxy- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 619293-87-7 CAPLUS

CN Benzamide, N-[[1-[(dimethylamino)sulfonyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-2-hydroxy- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & & \\ O & & & \\ C - NH - CH_2 & & & \\ OH & & & \\ \end{array}$$

RN 619293-88-8 CAPLUS

CN Benzamide, N-[[1-[(dimethylamino)sulfonyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-89-9 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-(2-fluorophenyl)-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

RN 619293-90-2 CAPLUS

CN Benzamide, N-[[1-[(dimethylamino)sulfonyl]-4-(2-fluorophenyl)-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

RN 619293-91-3 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-(3-chlorophenyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-92-4 CAPLUS

CN Benzamide, N-[[4-(3-chlorophenyl)-1-[(dimethylamino)sulfonyl]-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-93-5 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-(3,5-difluorophenyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ O & & \\ S - NH_2 \\ \hline O \\ OMe \\ \hline \end{array}$$

RN 619293-94-6 CAPLUS

CN Benzamide, N-[[4-(3,5-difluorophenyl)-1-[(dimethylamino)sulfonyl]-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619293-95-7 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-(3,5-difluorophenyl)-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} \text{OH} & \text{O} & \text{S-NH}_2 \\ \hline & \text{C-NH-CH}_2 & \text{OMe} \\ \hline & \text{OMe} & \text{F} \end{array}$$

RN 619293-96-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-(aminosulfonyl)-4-(3,5-difluorophenyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F & F \\ \hline O & NH_2 \\ \hline O & N \\ H_2N-S & N \\ \hline O & N \\ \end{array}$$

RN 619293-97-9 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-(aminosulfonyl)-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ S - NH_2 \\ \hline N \\ NH_2 \\ \end{array}$$

RN 619293-98-0 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

RN 619293-99-1 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-(aminosulfonyl)-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619294-00-7 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-(aminosulfonyl)-4-(3-chlorophenyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c}
C1 & O & NH2 \\
O & N & CH_2 - NH - C & N \\
H_2N - S & O & N & N \\
O & N & N & N & N
\end{array}$$

RN 619294-01-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-(aminosulfonyl)-4-(3-fluorophenyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\$$

RN 619294-02-9 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-(2,5-difluorophenyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 619294-03-0 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-(aminosulfonyl)-4-(2,5-difluorophenyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ &$$

RN 619294-04-1 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

RN 619294-05-2 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-(2,3-difluorophenyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & O \\ \parallel & & & S-NH_2 \\ \hline C-NH-CH_2 & & F \\ \hline OMe & & F \end{array}$$

RN 619294-06-3 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-(aminosulfonyl)-4-(2,3-difluorophenyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F \\ \hline \\ O \\ N \\ \hline \\ O \\ N \\ \hline \\ O \\ \end{array}$$

RN 619295-07-7 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-[(3,5-dimethyl-4-isoxazolyl)sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

PAGE 2-A

RN 619295-08-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-[(3-fluorophenyl)sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619295-09-9 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-[(4-fluorophenyl)sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619295-10-2 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-[(3-cyanopheny1)sulfony1]-4-pheny1-4-piperidiny1]methy1]- (CA INDEX NAME)

RN 619295-11-3 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-[[2-(methylsulfonyl)phenyl]sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 619295-12-4 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-[(1-methylethyl)sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & O \\ \parallel & S - Pr - i \\ N & \parallel & O \\ N & C - NH - CH_2 - O \\ NH_2 & Ph \end{array}$$

IT 619295-96-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted piperidines as inhibitors of potassium channel function)

RN 619295-96-4 CAPLUS

CN 1H-Imidazolium, 3-[[4-[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-1-

piperidinyl]sulfonyl]-1,2-dimethyl-, 1,1,1-trifluoromethanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 619295-95-3 CMF C25 H31 N4 O4 S

PAGE 1-A

PAGE 2-A

CM 2

CRN 37181-39-8 CMF C F3 O3 S

OS.CITING REF COUNT:

THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ACCESSION NUMBER: 2000:314546 CAPLUS

DOCUMENT NUMBER: 132:321801

TITLE: Preparation of 4-[(benzoylamino)methyl]piperidines and

analogs as potassium channel inhibitors

INVENTOR(S): Bao, Jianming; Kayser, Frank; Kotliar, Andrew;

Parsons, William H.; Rupprecht, Kathleen M.;

Claiborne, Christopher F.; Liverton, Nigel; Claremon,

David A.; Thompson, Wayne J.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	PATENT NO.						DATE		APPLICATION NO.				DATE				
WO	2000025786				A1		20000511		WO 1999-US25066				19991026				
	W:	ΑE,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	ВG	, BR,	BY,	CA,	CH,	CN,	CR,	CU,
		CZ,	DE,	DK,	DM,	EE,	ES,	FΙ,	GB,	GD	, GE,	GH,	GM,	HR,	HU,	ID,	IL,
		IN,	IS,	JP,	KE,	KG,	KR,	KΖ,	LC,	LK	, LR,	LS,	LT,	LU,	LV,	MA,	MD,
		MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PΤ	, RO,	RU,	SD,	SE,	SG,	SI,	SK,
		SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US	, UZ,	VN,	YU,	ZA,	ZW		
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ	, UG,	ZW,	AT,	BE,	CH,	CY,	DE,
		DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU	, MC,	NL,	PT,	SE,	BF,	ВJ,	CF,
											, SN,						
US	6303637			В1		2001	1016	US 1999-422500				19991021					
CA				A1	A1 20000511			CA 1999-2348735									
CA	2348735			C 20071211													
EP				A1 20010829			EP 1999-955169					19991026					
EP	1126849			B1 20050309													
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO										
JP	JP 2002528503				T 20020903				JP 2000-579227					19991026			
AU	AU 764515				B2 20030821			AU 2000-11338				19991026					
AT	AT 290382				T 20050315			AT 1999-955169				19991026					
PRIORIT	IORITY APPLN. INFO.:									US	1998-	1062	92P	I	P 1	9981	030
										WO	1999-	US25	066	Ţ	₩ 1	9991	026
OTHER SO	HER SOURCE(S):				MARPAT 132:3218			01									

AB Title compds. [I; R1 = CH2NR10COR6; R2,R6 = (un)substituted Ph; R3,R4 = H, halo, alkyl, acyl, etc.; R10 = H, alkyl, acyl, etc.; Z = 0, S00-2, NR5; R5 = H, OH, alkyl, acyl, etc.; Z1,Z2 = bond, CH2, CH2CH2] were prepared as potassium channel inhibitors (no data). Thus,

4-cyano-1-benzyl-4-phenylpiperidine was reduced and the product N-acylated by 2-(MeO)C6H4COCl to give, after deprotection and Ac2O acylation, 2-(MeO)C6H4CONHCH2Z3Ac (Z3 = 4-phenylpiperidine-4,1-diyl).

IT 266341-41-7P 266341-42-8P 266341-43-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-[(benzoylamino)methyl]piperidines and analogs as potassium channel inhibitors)

RN 266341-41-7 CAPLUS

CN Benzamide, N-[[1-(ethylsulfonyl)-4-phenyl-4-piperidinyl]methyl]-2-methoxy-(CA INDEX NAME)

$$\begin{array}{c|c} O & & & O \\ \parallel & S - \text{Et} \\ \hline O & & & \\ C - \text{NH} - \text{CH}_2 - & & \\ \hline O & & \\ O \text{DMe} \end{array}$$

RN 266341-42-8 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ &$$

RN 266341-43-9 CAPLUS

CN Benzamide, N-[[1-(butylsulfonyl)-4-phenyl-4-piperidinyl]methyl]-2-methoxy-(CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ & & \\ \hline & S - Bu - n \\ \hline & C - NH - CH_2 - \\ \hline & Ph \end{array}$$

OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD

(7 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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LOGOFF? (Y)/N/HOLD:y
STN INTERNATIONAL LOGOFF AT 12:26:38 ON 14 OCT 2009